

10001725

> d his

(FILE 'HOME' ENTERED AT 13:25:40 ON 25 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:25:51 ON 25 JAN 2003

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 50 S L3

FILE 'STNGUIDE' ENTERED AT 13:30:19 ON 25 JAN 2003

FILE 'REGISTRY' ENTERED AT 13:32:44 ON 25 JAN 2003

L5 1227 S L3 SSS FULL
L6 STRUCTURE UPLOADED
L7 0 S L6 SUB=L5 SAMPLE
L8 13 S L6 SSS FULL SUB=L5
L9 STRUCTURE UPLOADED
L10 0 S L9
L11 0 S L9 SUB=L5 SAMPLE

FILE 'STNGUIDE' ENTERED AT 13:39:02 ON 25 JAN 2003

FILE 'REGISTRY' ENTERED AT 13:42:15 ON 25 JAN 2003

L12 STRUCTURE UPLOADED
L13 0 S L12 SUB=L5 SAMPLE
L14 0 S L12 SSS FULL SUB=L5
L15 7 S L12
L16 149 S L12 SSS FULL

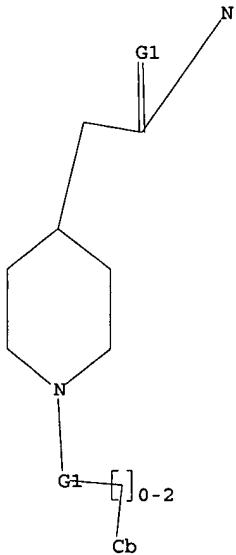
FILE 'CAPLUS' ENTERED AT 13:44:42 ON 25 JAN 2003

L17 25 S L16
L18 22 S L17 AND PATENT/DT
L19 2 S L4

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 O,S

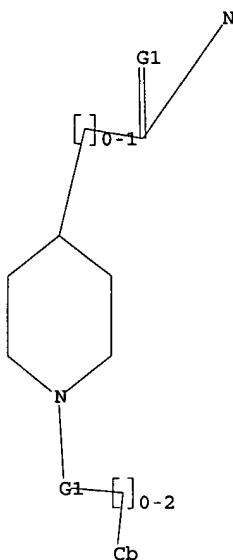
Structure attributes must be viewed using STN Express query preparation.

=> d 13

L3 HAS NO ANSWERS

L3 STR

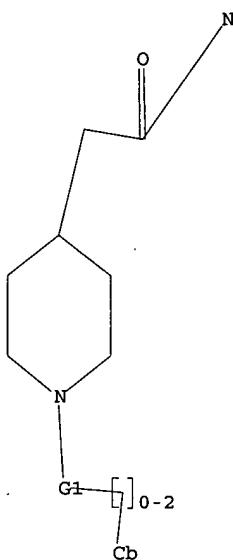
10001725



G1 O, S

Structure attributes must be viewed using STN Express query preparation.

=> d 19
L9 HAS NO ANSWERS
L9 STR

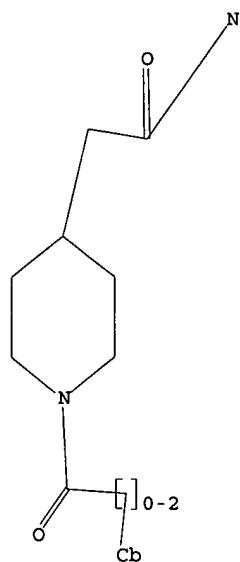


G1 O, S

Structure attributes must be viewed using STN Express query preparation.

=> d 112
L12 HAS NO ANSWERS
L12 STR

10001725



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

10001725

=> d 1-22 bib abs hitstr

L18 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:754206 CAPLUS
DN 137:273215
TI Dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
IN Ashton, Wallace T.; Caldwell, Charles G.; Ok, Hyun; Parmee, Emma R.;
Weber, Ann E.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2002076450	A1	20021003	WO 2002-US8931 20020322	
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2001-278931P P 20010327

AB The present invention is directed to compds. which are inhibitors of the dipeptidyl peptidase-IV enzyme ("DP-IV inhibitors") and which are useful in the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as diabetes and particularly type 2 diabetes. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which the dipeptidyl peptidase-IV enzyme is involved.

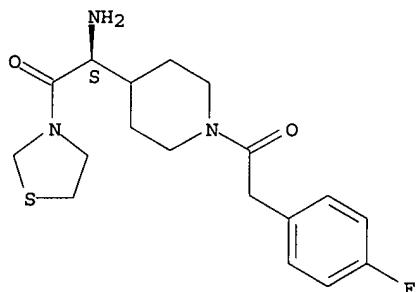
IT 463349-55-5P 463349-60-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes)

RN 463349-55-5 CAPLUS

CN Piperidine, 4-[(1S)-1-amino-2-oxo-2-(3-thiazolidinyl)ethyl]-1-[(4-fluorophenyl)acetyl]- (9CI) (CA INDEX NAME)

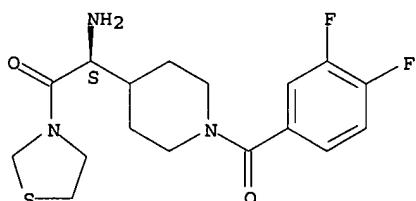
Absolute stereochemistry.



RN 463349-60-2 CAPLUS

CN Piperidine, 4-[(1S)-1-amino-2-oxo-2-(3-thiazolidinyl)ethyl]-1-(3,4-difluorobenzoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

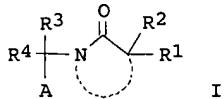


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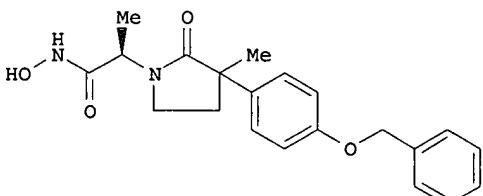
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:444499 CAPLUS
DN 137:33207
TI Preparation of novel N-substituted- γ , γ -trisubstituted lactam derivatives as matrix metalloproteinase inhibitors
IN Duan, Jingwu; DeCicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PA USA
SO U.S., 119 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6403632	B1	20020611	US 2000-516709	20000301
PRAI US 2000-516709		20000301		
OS MARPAT 137:33207				
GI				



I



II

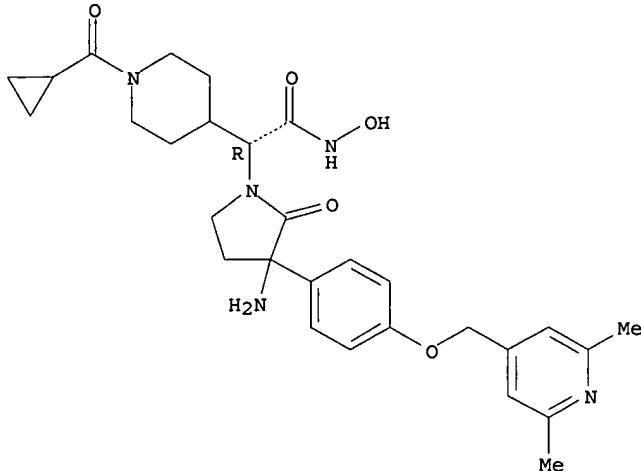
AB Title compds. [I; A is selected from COOH, CH₂COOH, CONHOH, SH, CH₂SH, PO(OH)₂, etc.; ring B is a 4-8 membered cyclic amide contg. 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH₃, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prep'd. as useful metalloprotease inhibitors. For instance, 4-benzyloxyphenyl acetate was sequentially alkylated (THF, NaHMDS) with MeI and allyl bromide to afford the α , α -bis(alkylated) deriv. which was converted to the aldehyde (CH₂Cl₂, O₃) and was subsequently reacted with D-alanine Me ester hydrochloride and Zn.degree. in HOAc to yield the lactam ester. This intermediate was treated with hydroxylamine to give hydroxamic acid II.
IT 223404-57-7P, 4-Piperidineacetamide, α -[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-(cyclopropylcarbonyl)-N-hydroxy-, (.alpha.R)- 223404-72-6P, 4-Piperidineacetamide, α -[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-benzoyl-N-hydroxy-, (.alpha.R)- 223408-09-1P, 4-Piperidineacetamide, α -[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-(cyclopropylcarbonyl)-N-hydroxy-, (.alpha.R)-, mono(trifluoroacetate) (salt) 223408-21-7P, 4-Piperidineacetamide, α -[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-benzoyl-N-hydroxy-, (.alpha.R)-, mono(trifluoroacetate) (salt)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N- γ , γ -trisubstituted lactam derivs. as MMP-3/aggreccanase inhibitors)

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RN 223404-57-7 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-(cyclopropylcarbonyl)-N-hydroxy-, (.alpha.R)- (9CI) (CA INDEX NAME)

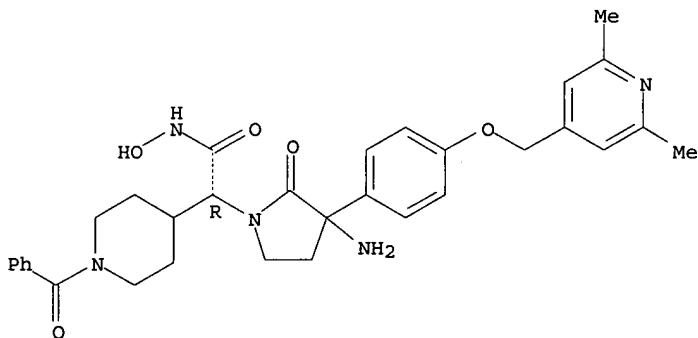
Absolute stereochemistry.



RN 223404-72-6 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-benzoyl-N-hydroxy-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223408-09-1 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-(cyclopropylcarbonyl)-N-hydroxy-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

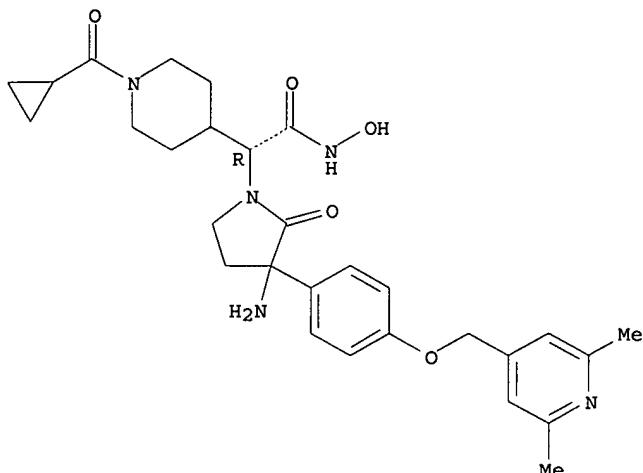
CM 1

CRN 223404-57-7

CMF C29 H37 N5 O5

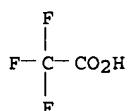
Absolute stereochemistry.

10001725



CM 2

CRN 76-05-1
CMF C2 H F3 O2

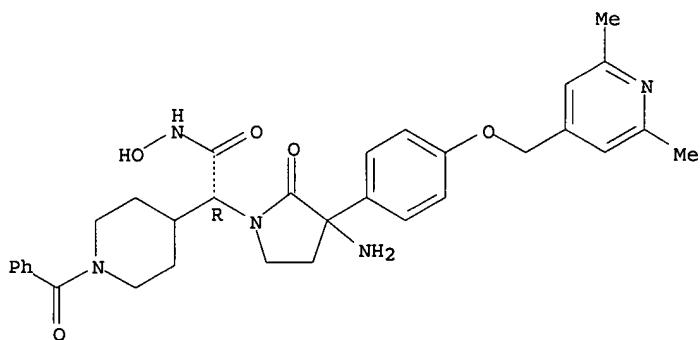


RN 223408-21-7 CAPLUS
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-benzoyl-N-hydroxy-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

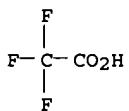
CRN 223404-72-6
CMF C32 H37 N5 O5

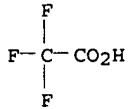
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2





RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:391700 CAPLUS
DN 136:386139
TI Preparation of piperidine- and piperazineacetamides as nervous system agents
IN Kordik, Cheryl P.; Reitz, Allen B.; Coats, Steven J.; Luo, Chi; Pan, Kevin; Parker, Michael H.
PA Ortho-Mcneil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040466	A2	20020523	WO 2001-US51096	20011023
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002183316	A1	20021205	US 2001-1725	20011022
	AU 2002039761	A5	20020527	AU 2002-39761	20011023

PRAI US 2000-244117P P 20001027
WO 2001-US51096 W 20011023

OS MARPAT 136:386139

AB R4Z2Z1COZCH2CONR1R2 [I; R1 = H or Me; R2 = CHMe2, (fluoro)phenyl, 3-pyridyl, etc.; R1R2 = atoms to complete a ring; R4 = Ph, C6H4(OMe)-4, pyridyl, etc.; Z = (un)substituted piperidine- or piperazine-1,4-diyl; Z1 = e.g., phenylene; Z2 = C.tplbond.C, CH:CH, CH2CH2, etc.] were prepd. Thus, e.g., N-phenyl-1-[3-(2-pyridylethynyl)benzoyl]-4-piperidineacetamide was prepd. A statistical redn. of DOI-induced head shakes in mice by I was reported.

IT 426226-91-7P 426226-93-9P 426226-95-1P
426226-97-3P 426226-99-5P 426227-01-2P
426227-03-4P 426227-05-6P 426227-07-8P
426227-09-0P 426227-11-4P 426227-13-6P
426227-15-8P 426227-17-0P 426227-19-2P
426227-21-6P 426227-23-8P 426227-25-0P
426227-27-2P 426227-29-4P 426227-31-8P
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426227-55-6P 426227-57-8P 426227-60-3P
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426227-67-0P 426227-69-2P 426227-71-6P
426227-73-8P 426227-75-0P 426227-77-2P
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426228-11-7P 426228-13-9P 426228-15-1P
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426228-46-8P 426228-48-0P 426228-50-4P
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this applⁿ.

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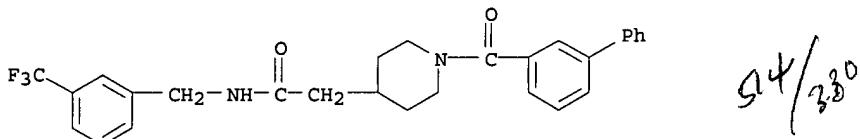
426229-44-9P 426229-45-0P 426229-48-3P
426229-53-0P 426229-57-4P 426229-62-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidine- and piperazineacetamides as nervous system agents)

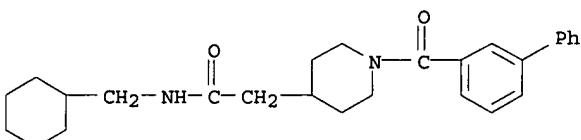
RN 426226-91-7 CAPLUS

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-[(3-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



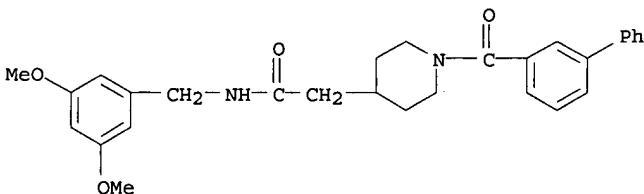
RN 426226-93-9 CAPLUS

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)



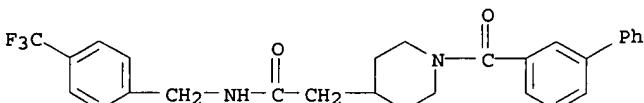
RN 426226-95-1 CAPLUS

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-[(3,5-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



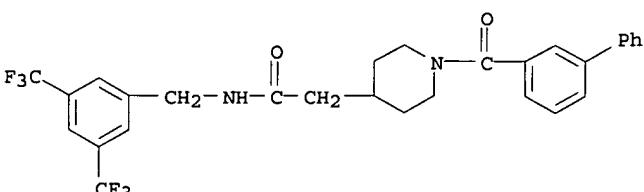
RN 426226-97-3 CAPLUS

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-[(4-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



RN 426226-99-5 CAPLUS

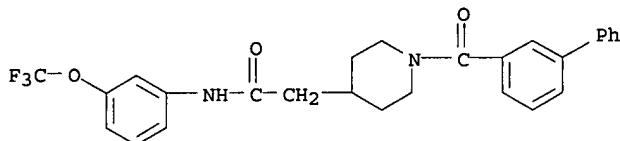
CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-[(3,5-bis(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



RN 426227-01-2 CAPLUS

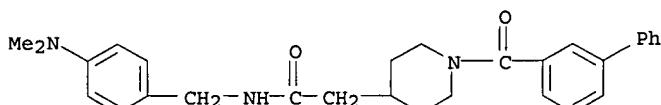
10001725

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



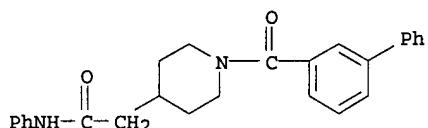
RN 426227-03-4 CAPLUS

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-[4-(dimethylamino)phenyl]methyl- (9CI) (CA INDEX NAME)



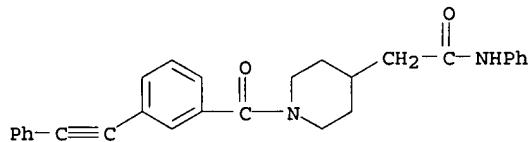
RN 426227-05-6 CAPLUS

CN 4-Piperidineacetamide, 1-([1,1'-biphenyl]-3-ylcarbonyl)-N-phenyl- (9CI) (CA INDEX NAME)



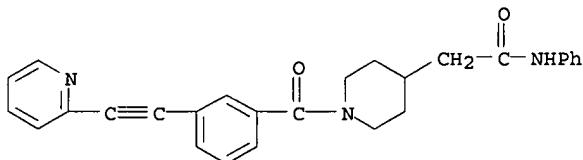
RN 426227-07-8 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[3-(phenylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



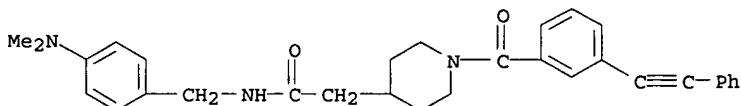
RN 426227-09-0 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-11-4 CAPLUS

CN 4-Piperidineacetamide, N-[(4-(dimethylamino)phenyl)methyl]-1-[3-(phenylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

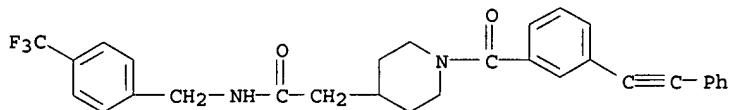


RN 426227-13-6 CAPLUS

CN 4-Piperidineacetamide, 1-[3-(phenylethynyl)benzoyl]-N-[(4-

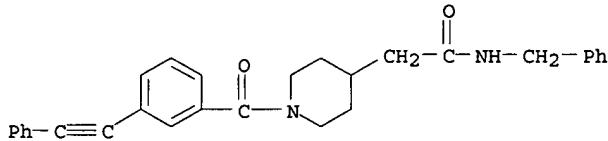
10001725

(trifluoromethyl)phenyl]methyl] - (9CI) (CA INDEX NAME)



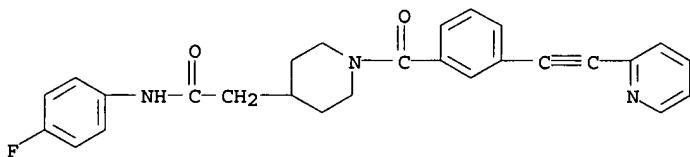
RN 426227-15-8 CAPLUS

CN 4-Piperidineacetamide, 1-[3-(phenylethynyl)benzoyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



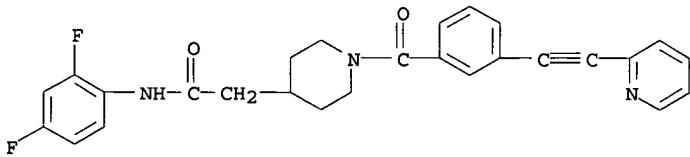
RN 426227-17-0 CAPLUS

CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



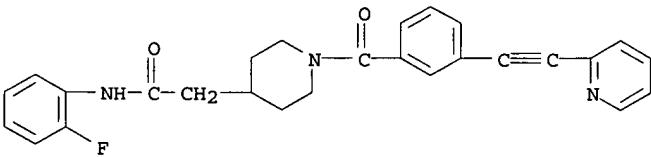
RN 426227-19-2 CAPLUS

CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



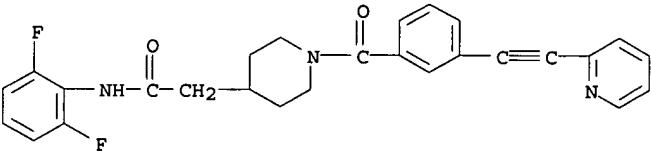
RN 426227-21-6 CAPLUS

CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-23-8 CAPLUS

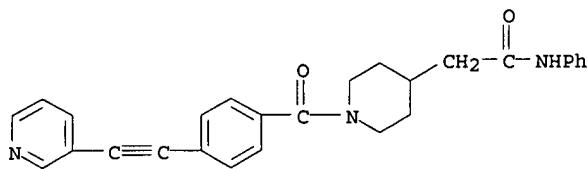
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



10001725

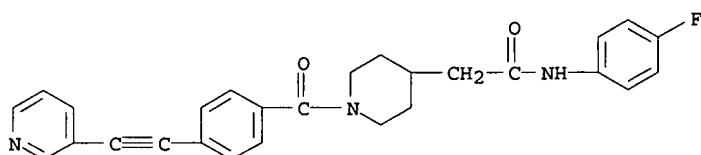
RN 426227-25-0 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[4-(3-pyridinylethynyl)benzoyl]- (9CI)
(CA INDEX NAME)



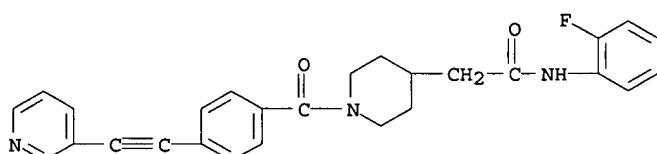
RN 426227-27-2 CAPLUS

CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[4-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



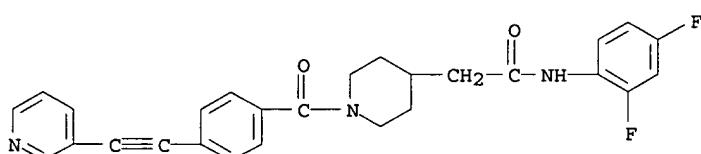
RN 426227-29-4 CAPLUS

CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[4-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



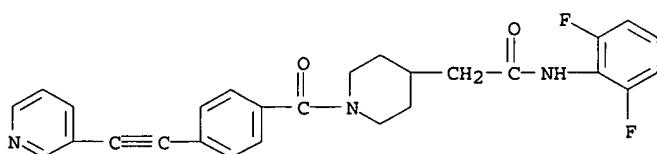
RN 426227-31-8 CAPLUS

CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[4-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-33-0 CAPLUS

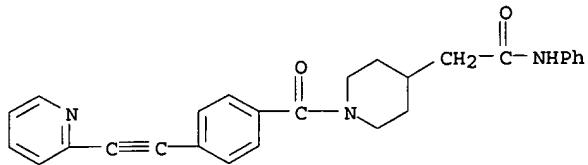
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[4-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



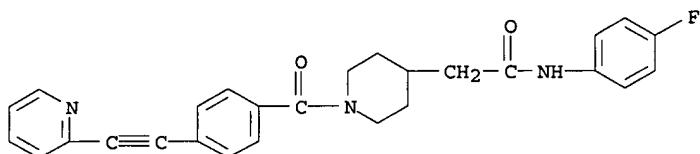
RN 426227-36-3 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI)
(CA INDEX NAME)

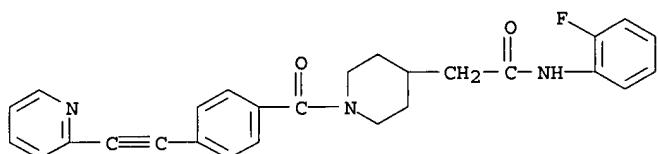
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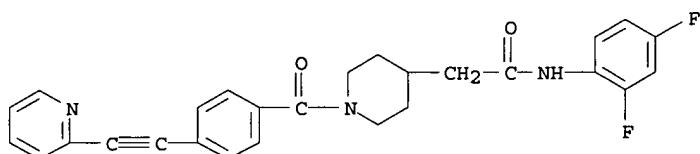
RN 426227-38-5 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



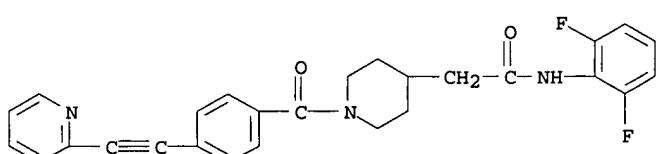
RN 426227-40-9 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-42-1 CAPLUS
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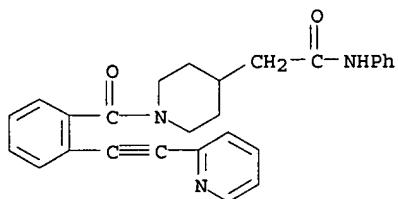


RN 426227-44-3 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[4-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

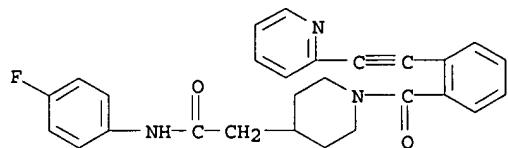


RN 426227-46-5 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

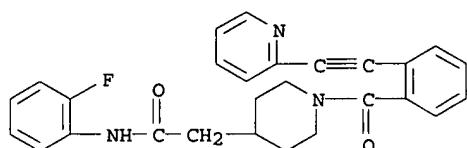
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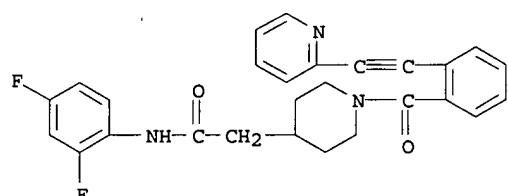
RN 426227-49-8 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



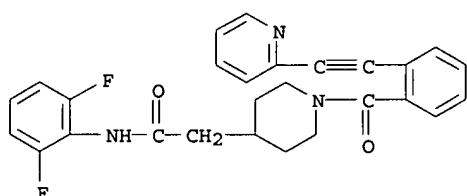
RN 426227-52-3 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-55-6 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

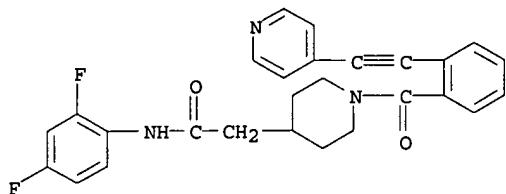


RN 426227-57-8 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[2-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

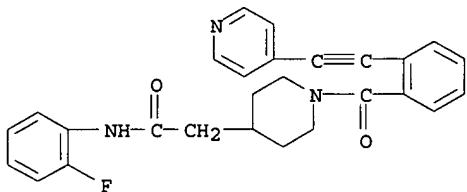


RN 426227-60-3 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[2-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

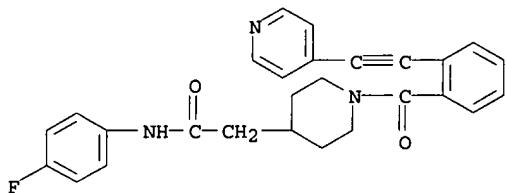
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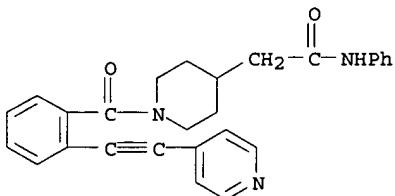
RN 426227-61-4 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[2-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



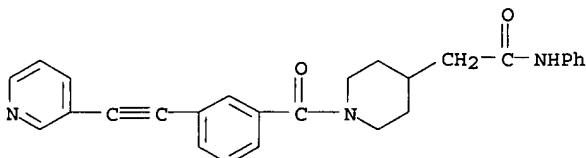
RN 426227-63-6 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[2-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-65-8 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[2-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

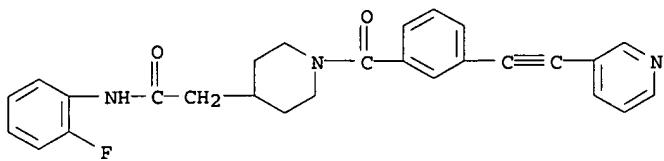


RN 426227-67-0 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

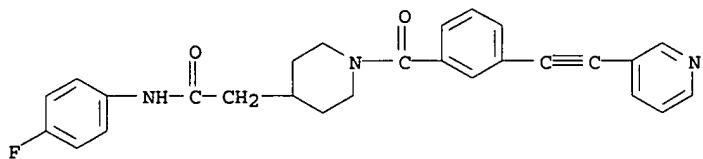


RN 426227-69-2 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[3-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

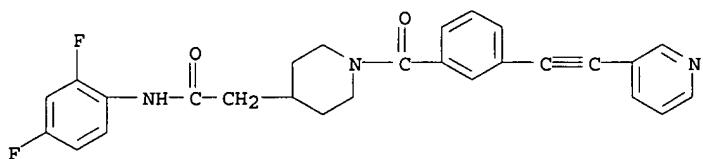
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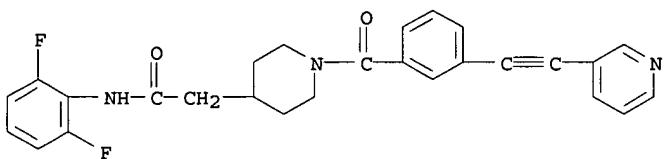
RN 426227-71-6 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[3-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



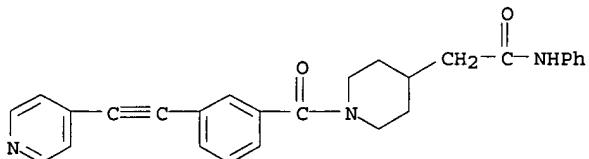
RN 426227-73-8 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[3-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



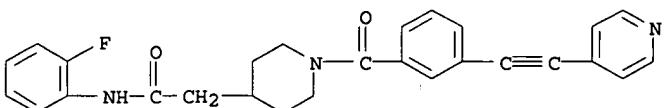
RN 426227-75-0 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[3-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-77-2 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(4-pyridinylethynyl)benzoyl]- (9CI)
(CA INDEX NAME)



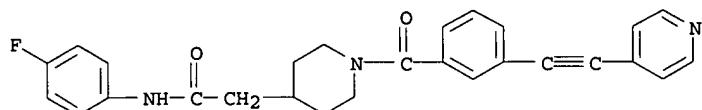
RN 426227-79-4 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[3-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-81-8 CAPLUS

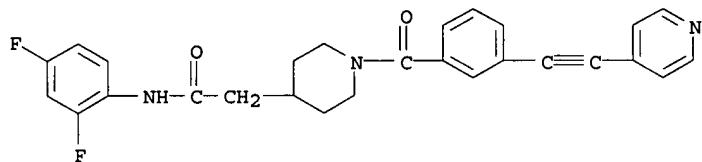
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CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[3-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



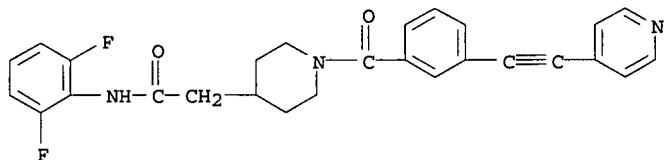
RN 426227-83-0 CAPLUS

CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[3-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



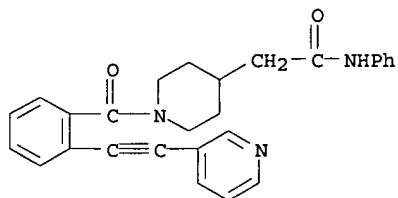
RN 426227-85-2 CAPLUS

CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[3-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



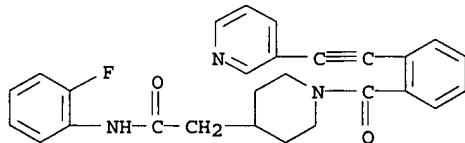
RN 426227-86-3 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[2-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426227-88-5 CAPLUS

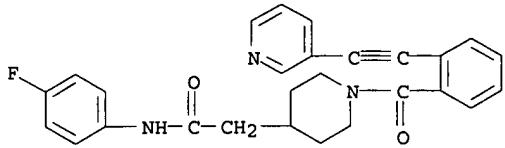
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[2-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



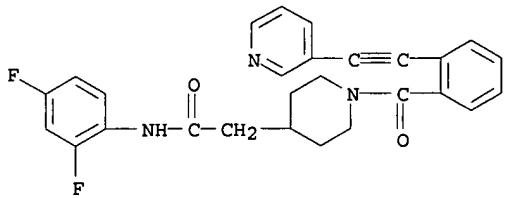
RN 426227-89-6 CAPLUS

CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[2-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

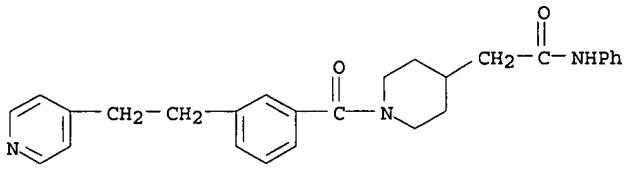
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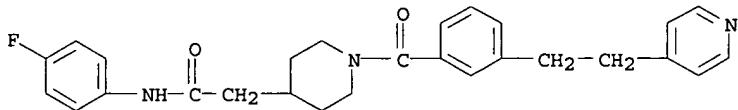
RN 426227-90-9 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[2-(3-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



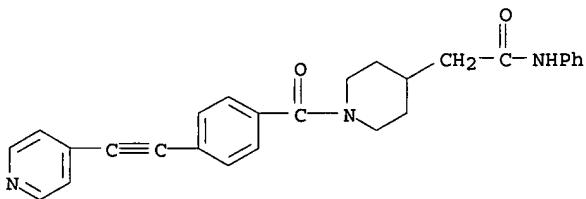
RN 426227-91-0 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI)
(CA INDEX NAME)



RN 426227-93-2 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[3-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

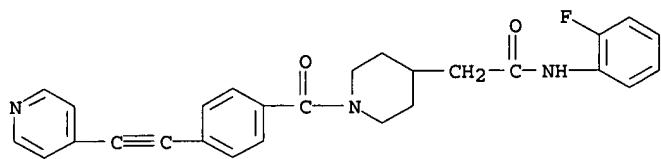


RN 426227-95-4 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[4-(4-pyridinylethynyl)benzoyl]- (9CI)
(CA INDEX NAME)

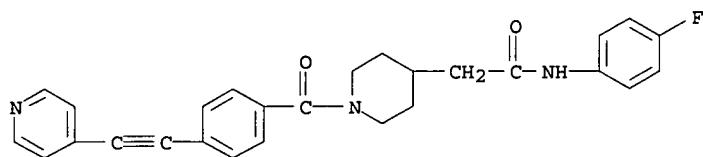


RN 426227-97-6 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[4-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)

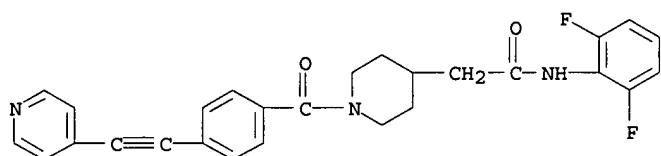
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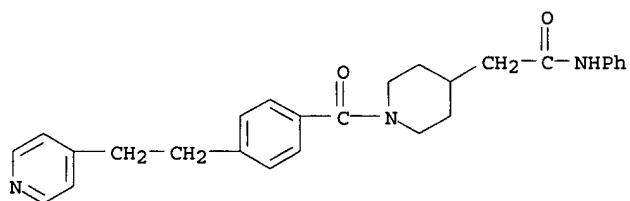
RN 426227-99-8 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[4-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



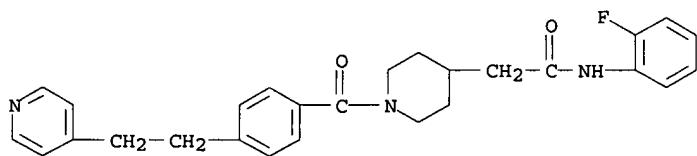
RN 426228-01-5 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[4-(4-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426228-03-7 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[4-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

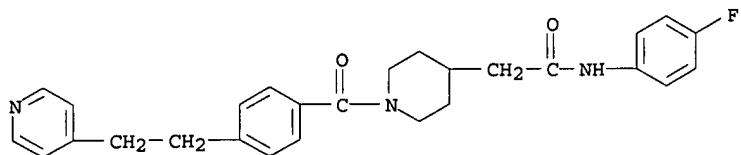


RN 426228-05-9 CAPLUS
CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[4-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

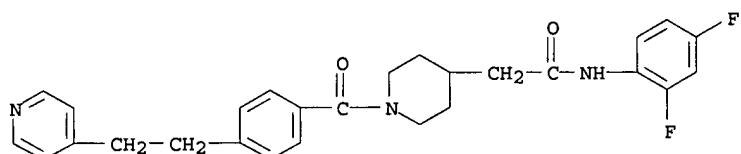


RN 426228-07-1 CAPLUS
CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[4-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

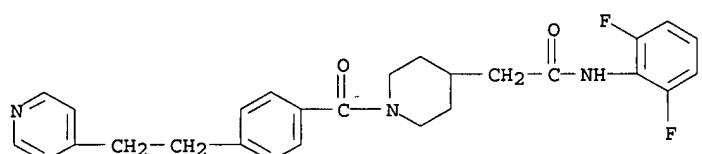
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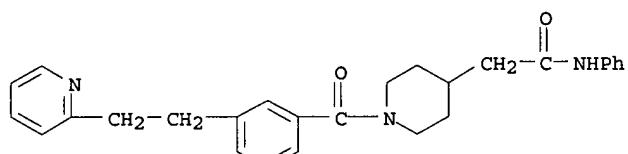
RN 426228-09-3 CAPLUS
CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[4-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 426228-11-7 CAPLUS
CN 4-Piperidineacetamide, N-(2,6-difluorophenyl)-1-[4-[2-(4-pyridinyl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

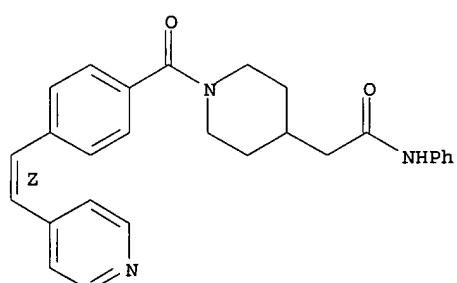


RN 426228-13-9 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-[2-(2-pyridinyl)ethyl]benzoyl]- (9CI)
(CA INDEX NAME)



RN 426228-15-1 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[4-[(1Z)-2-(4-pyridinyl)ethenyl]benzoyl]-
(9CI) (CA INDEX NAME)

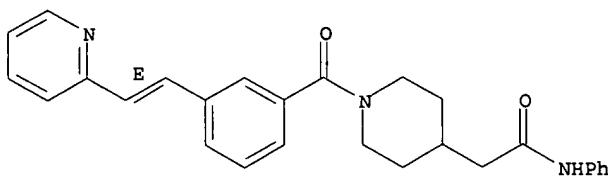
Double bond geometry as shown.



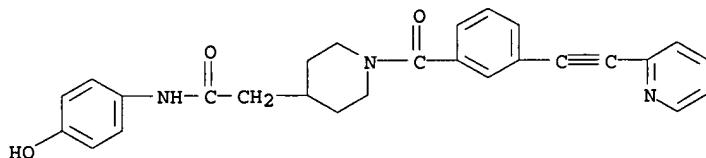
RN 426228-17-3 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-[(1E)-2-(2-pyridinyl)ethenyl]benzoyl]-
(9CI) (CA INDEX NAME)

Double bond geometry as shown.

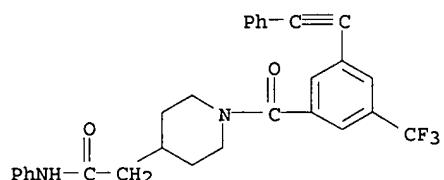
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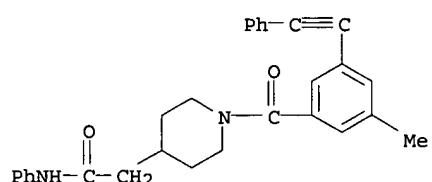
RN 426228-19-5 CAPLUS
CN 4-Piperidineacetamide, N-(4-hydroxyphenyl)-1-[3-(2-pyridinylethynyl)benzoyl]- (9CI) (CA INDEX NAME)



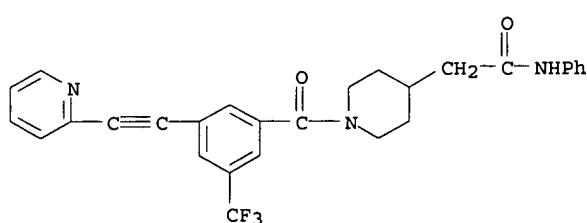
RN 426228-21-9 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(phenylethynyl)-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426228-23-1 CAPLUS
CN 4-Piperidineacetamide, 1-[3-methyl-5-(phenylethynyl)benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)

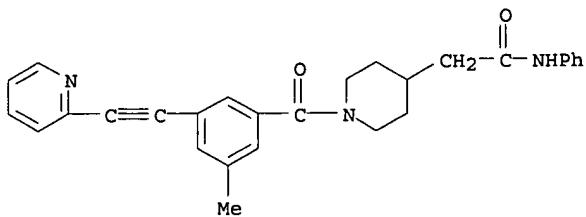


RN 426228-25-3 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(2-pyridinylethynyl)-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



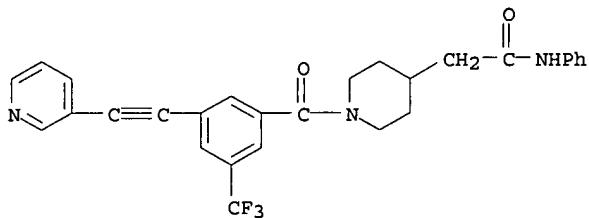
RN 426228-26-4 CAPLUS
CN 4-Piperidineacetamide, 1-[3-methyl-5-(2-pyridinylethynyl)benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)

10001725



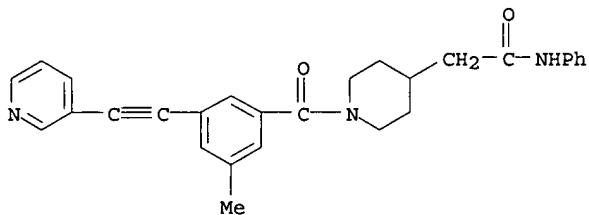
RN 426228-28-6 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[3-(3-pyridinylethynyl)-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426228-30-0 CAPLUS

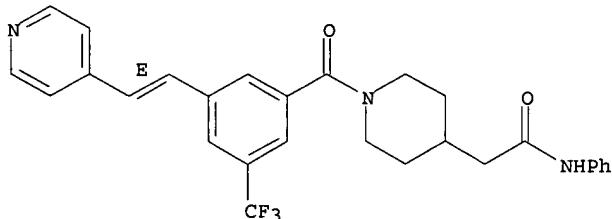
CN 4-Piperidineacetamide, 1-[3-methyl-5-(3-pyridinylethynyl)benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 426228-32-2 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[3-[(1E)-2-(4-pyridinyl)ethenyl]-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

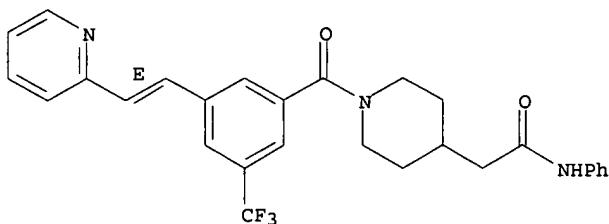


RN 426228-34-4 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[3-[(1E)-2-(2-pyridinyl)ethenyl]-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

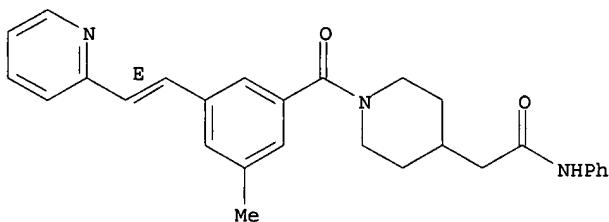
10001725



RN 426228-36-6 CAPLUS

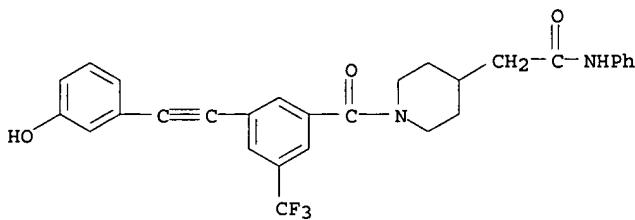
CN 4-Piperidineacetamide, 1-[3-methyl-5-[(1E)-2-(2-pyridinyl)ethenyl]benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



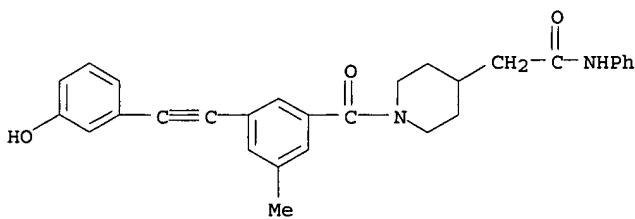
RN 426228-38-8 CAPLUS

CN 4-Piperidineacetamide, 1-[3-[(3-hydroxyphenyl)ethynyl]-5-(trifluoromethyl)benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 426228-40-2 CAPLUS

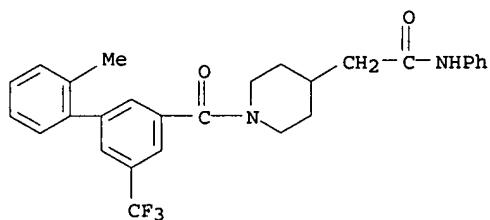
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RN 426228-42-4 CAPLUS

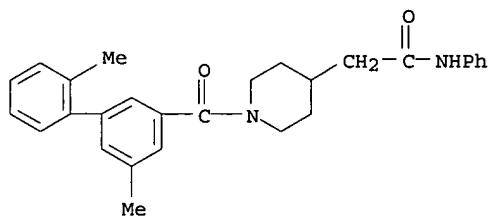
CN 4-Piperidineacetamide, 1-[[2'-methyl-5-(trifluoromethyl)[1,1'-biphenyl]-3-yl]carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)

10001725



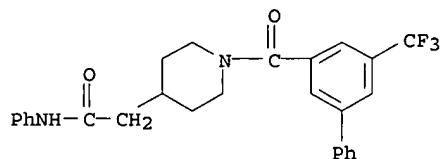
RN 426228-44-6 CAPLUS

CN 4-Piperidineacetamide, 1-[(2',5-dimethyl[1,1'-biphenyl]-3-yl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



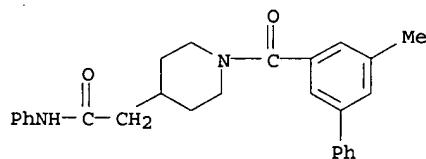
RN 426228-46-8 CAPLUS

CN 4-Piperidineacetamide, N-phenyl-1-[(5-(trifluoromethyl)[1,1'-biphenyl]-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



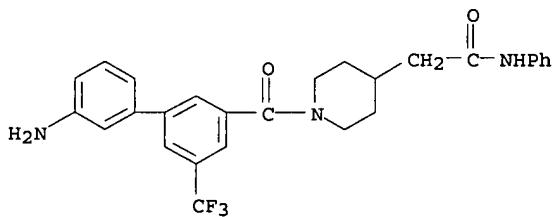
RN 426228-48-0 CAPLUS

CN 4-Piperidineacetamide, 1-[(5-methyl[1,1'-biphenyl]-3-yl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 426228-50-4 CAPLUS

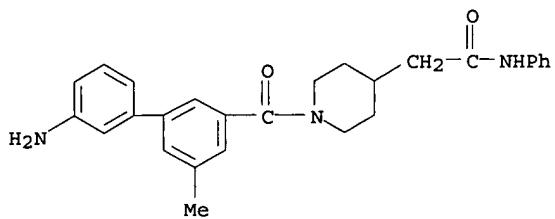
CN 4-Piperidineacetamide, 1-[(3'-amino-5-(trifluoromethyl)[1,1'-biphenyl]-3-yl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



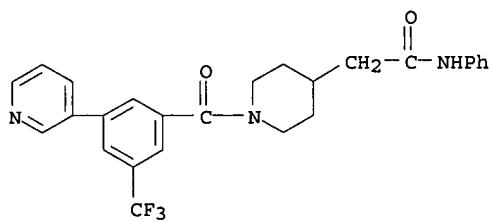
RN 426228-52-6 CAPLUS

CN 4-Piperidineacetamide, 1-[(3'-amino-5-methyl[1,1'-biphenyl]-3-yl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)

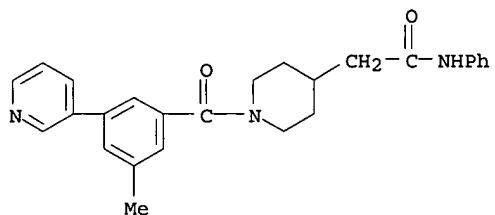
10001725



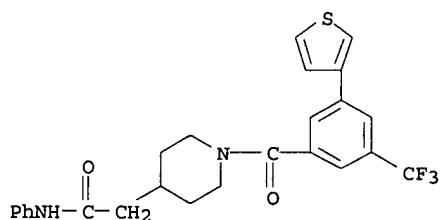
RN 426228-54-8 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(3-pyridinyl)-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 426228-56-0 CAPLUS
CN 4-Piperidineacetamide, 1-[3-methyl-5-(3-pyridinyl)benzoyl]-N-phenyl- (9CI)
(CA INDEX NAME)

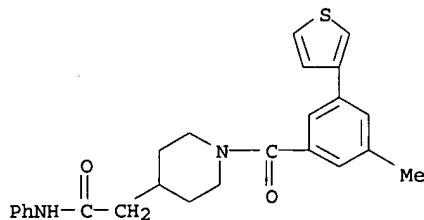


RN 426228-58-2 CAPLUS
CN 4-Piperidineacetamide, N-phenyl-1-[3-(3-thienyl)-5-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)

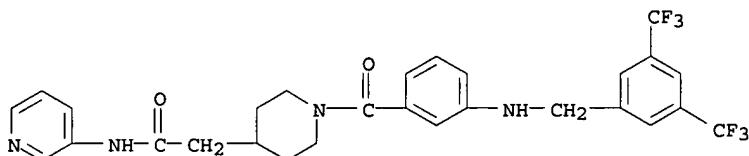


RN 426228-60-6 CAPLUS
CN 4-Piperidineacetamide, 1-[3-methyl-5-(3-thienyl)benzoyl]-N-phenyl- (9CI)
(CA INDEX NAME)

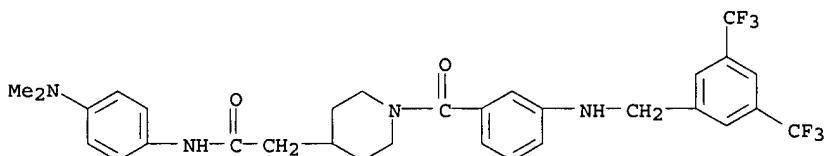
10001725



RN 426229-31-4 CAPLUS
CN 4-Piperidineacetamide, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]benzoyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

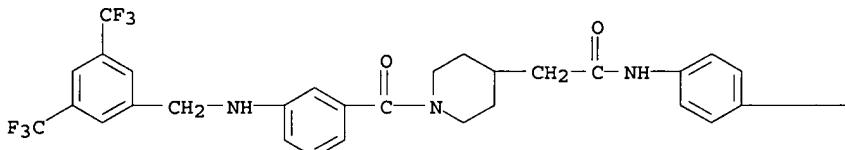


RN 426229-33-6 CAPLUS
CN 4-Piperidineacetamide, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]benzoyl]-N-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

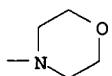


RN 426229-35-8 CAPLUS
CN 4-Piperidineacetamide, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]benzoyl]-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

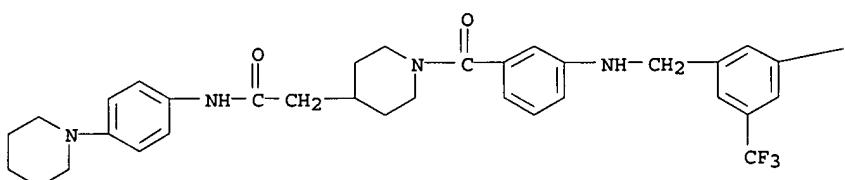
PAGE 1-A



PAGE 1-B

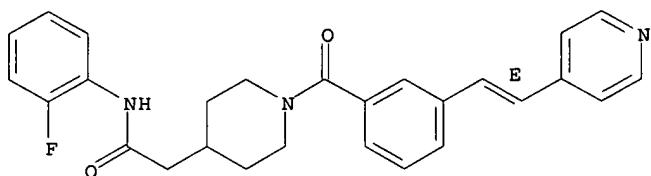


RN 426229-37-0 CAPLUS
CN 4-Piperidineacetamide, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]benzoyl]-N-[4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

—CF₃

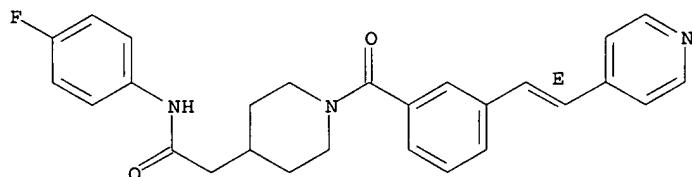
RN 426229-39-2 CAPLUS
 CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[3-[(1E)-2-(4-pyridinyl)ethenyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



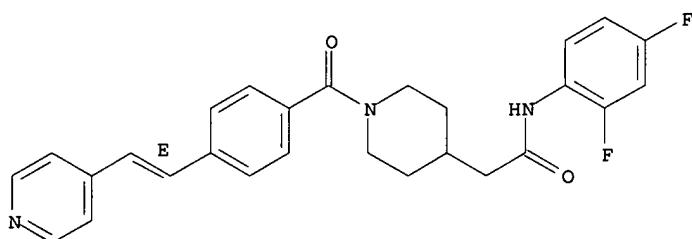
RN 426229-41-6 CAPLUS
 CN 4-Piperidineacetamide, N-(4-fluorophenyl)-1-[3-[(1E)-2-(4-pyridinyl)ethenyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 426229-43-8 CAPLUS
 CN 4-Piperidineacetamide, N-(2,4-difluorophenyl)-1-[4-[(1E)-2-(4-pyridinyl)ethenyl]benzoyl]- (9CI) (CA INDEX NAME)

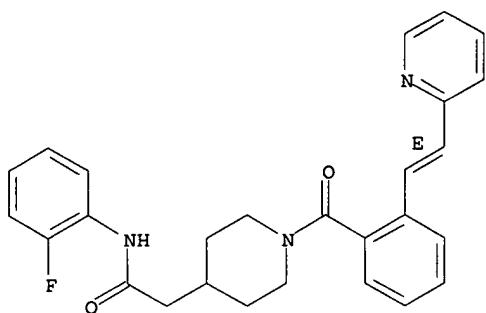
Double bond geometry as shown.



RN 426229-44-9 CAPLUS
 CN 4-Piperidineacetamide, N-(2-fluorophenyl)-1-[2-[(1E)-2-(2-pyridinyl)ethenyl]benzoyl]- (9CI) (CA INDEX NAME)

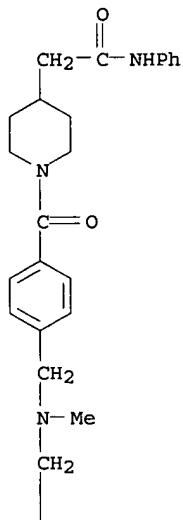
Double bond geometry as shown.

10001725

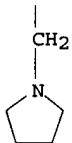


RN 426229-45-0 CAPLUS
CN 4-Piperidineacetamide, 1-[4-[(methyl[2-(1-pyrrolidinyl)ethyl]amino)methyl]benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)

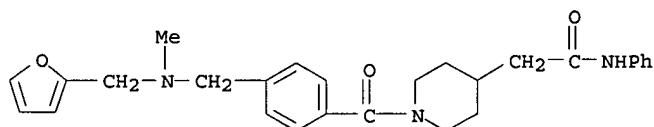
PAGE 1-A



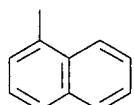
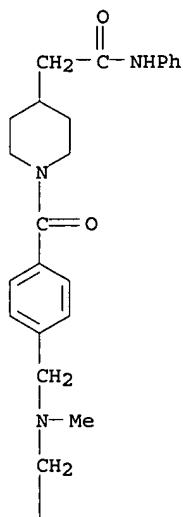
PAGE 2-A



RN 426229-48-3 CAPLUS
CN 4-Piperidineacetamide, 1-[4-[(2-furanylmethyl)methylamino]methyl]benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)

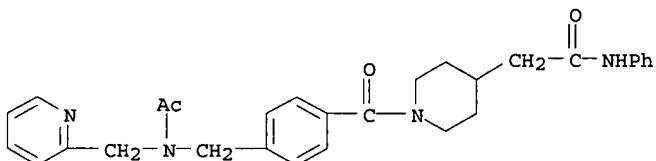


RN 426229-53-0 CAPLUS
CN 4-Piperidineacetamide, 1-[4-[(methyl(1-naphthalenylmethyl)amino)methyl]benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)



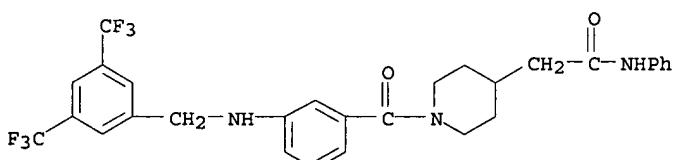
RN 426229-57-4 CAPLUS

CN 4-Piperidineacetamide, 1-[4-[(acetyl(2-pyridinylmethyl)amino)methyl]benzoyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 426229-62-1 CAPLUS

CN 4-Piperidineacetamide, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]benzoyl]-N-phenyl- (9CI) (CA INDEX NAME)



L18 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 2002:90007 CAPLUS

DN 136:151439

TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus

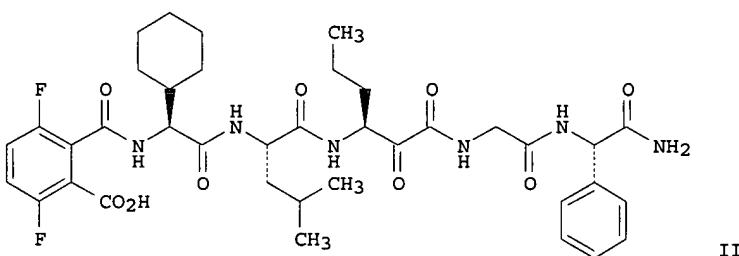
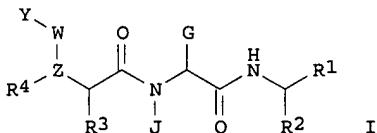
IN Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George; Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.

10001725

PA Schering Corporation, USA; Corvas International, Inc.
SO PCT Int. Appl., 188 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008187	A1	20020131	WO 2001-US22813	20010719
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002160962	A1	20021031	US 2001-909012	20010719
PRAI	US 2000-220107P	P	20000721		
OS	MARPAT 136:151439				
GI					



AB Novel peptides I [G, J, Y = independently H, alkyl, alkyl-aryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkyl-heteroaryl, cycloalkyl, alkoxy, alkyl-aryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkyl-aryl amino, arylamino, heteroaryl amino, cycloalkyl amino, and heterocycloalkyl amino; Z = O, N, CH; W = null, CO, CS, SO2; R1 = COR5, B(OR)2; R5 = H, OH, OR8, NR9R10, CF3, C2F5, C3F7, CF2R6, R6, COR7; R7 = H, OH, OR8, CHR9R10, NR9R10; R6, R8-10 = independently H, alkyl, aryl, heteroalkyl, cycloalkyl, arylalkyl, peptide deriv., etc.; R, R2-4 = independently H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, etc.] and their pharmaceutically salts which have hepatitis C virus (HCV) protease inhibitory activity were prep'd. via soln. or solid-phase peptide coupling methods. Thus, peptide II was prep'd. using solid-phase methods and showed a Ki value in the range of 0-100 nM for HCV protease inhibitory activity. This invention also discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders assoc'd. with the HCV protease.

IT 393580-43-3P 393580-44-4P 393580-45-5P

393580-48-8P 393580-49-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

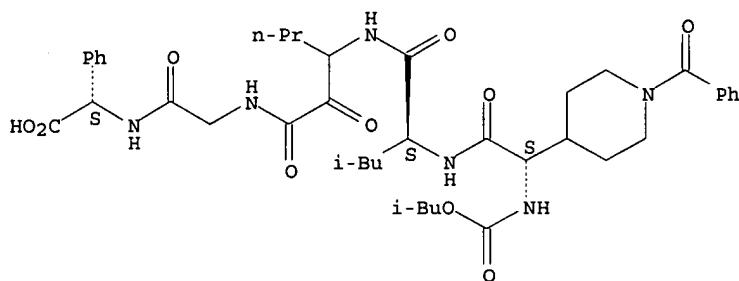
(prepn. of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 393580-43-3 CAPLUS

CN Glycine, (2S)-2-(1-benzoyl-4-piperidinyl)-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

10001725

Absolute stereochemistry.

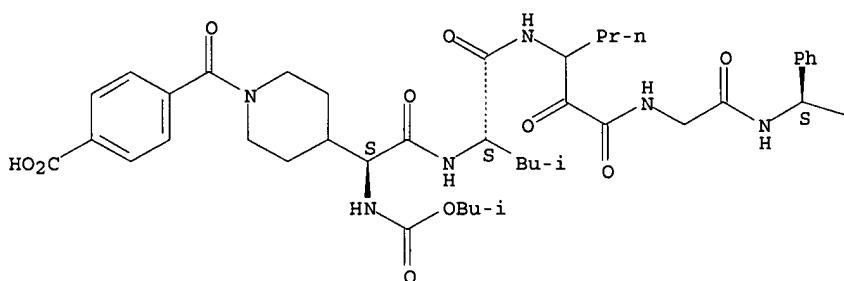


RN 393580-44-4 CAPLUS

CN Glycine, (2S)-2-[1-(4-carboxybenzoyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

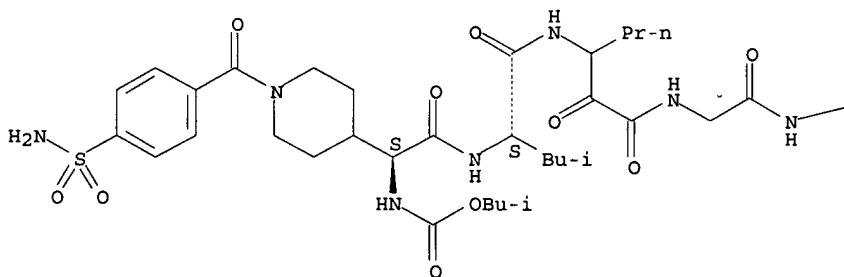
→ CO₂H

RN 393580-45-5 CAPLUS

CN Glycine, (2S)-2-[1-[4-(aminosulfonyl)benzoyl]-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

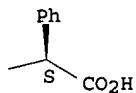
Absolute stereochemistry.

PAGE 1-A



10001725

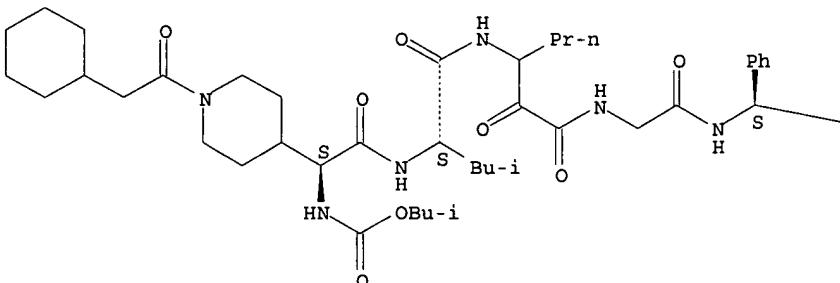
PAGE 1-B



RN 393580-48-8 CAPLUS
CN Glycine, (2S)-2-[1-(cyclohexylacetyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

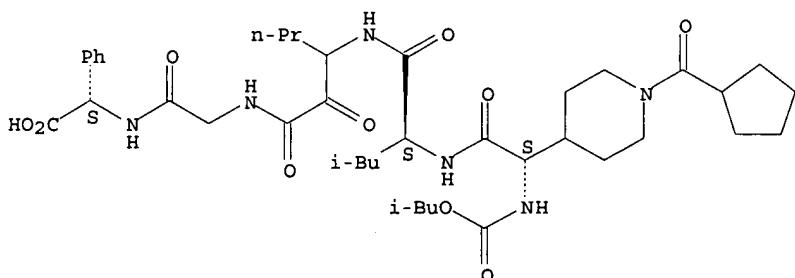


PAGE 1-B

—CO₂H

RN 393580-49-9 CAPLUS
CN Glycine, (2S)-2-[1-(cyclopentylcarbonyl)-4-piperidinyl]-N-[(2-methylpropoxy)carbonyl]glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



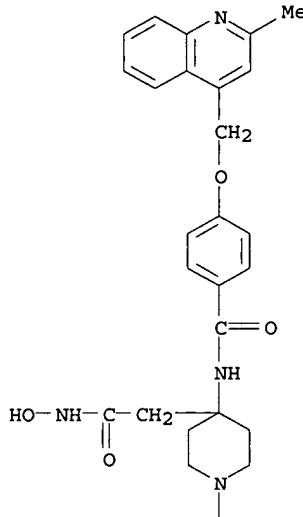
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:66852 CAPLUS
DN 136:118280
TI Preparation of N-hydroxy[(alkynylloxy)phenylsulfanyl]alkanoamides and
analogs as TACE and MMP inhibitors
IN Levin, Jeremy I.; Venkatesan, Aranapakam M.; Cole, Derek C.; Chen, James
M.; Davis, Jamie M.; Grosu, George T.
PA American Cyanamid Company, USA
SO U.S., 43 pp.
CODEN: USXXAM
DT Patent

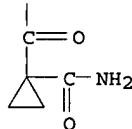
C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos) or a stereoisomer or pharmaceutically acceptable salt were prep'd. as metalloprotease and TNF-.alpha. inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prep'd. by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT 362697-92-5P 362697-93-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of .beta.-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-.alpha.)
 RN 362697-92-5 CAPPLUS
 CN 4-Piperidineacetamide, 1-[(1-(aminocarbonyl)cyclopropyl)carbonyl]-N-hydroxy-4-[(4-[(2-methyl-4-quinolinyl)methoxy]benzoyl)amino]- (9CI) (CA INDEX NAME)

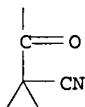
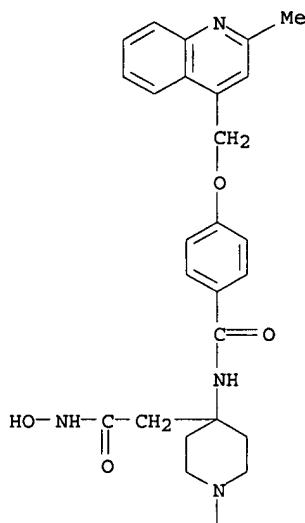
PAGE 1-A



PAGE 2-A

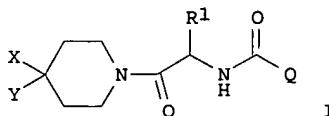


RN 362697-93-6 CAPPLUS
 CN 4-Piperidineacetamide, 1-[(1-cyanocyclopropyl)carbonyl]-N-hydroxy-4-[(4-[(2-methyl-4-quinolinyl)methoxy]benzoyl)amino]- (9CI) (CA INDEX NAME)



L18 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS
 AN 2001:713326 CAPLUS
 DN 135:272990
 TI Preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists
 IN Palucki, Brenda L.; Barakat, Khaled J.; Guo, Liangqin; Lai, Yingjie; Nargund, Ravi P.; Park, Min K.; Pollard, Patrick G.; Sebhate, Iyassu K.; Ye, Zhixiong
 PA Merck + Co., Inc., USA
 SO PCT Int. Appl., 220 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070708	A1	20010927	WO 2001-US8935	20010320
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002019523	A1	20020214	US 2001-812965	20010320
	US 6458790	B2	20021001		
	EP 1268449	A1	20030102	EP 2001-922501	20010320
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-191442P	P	20000323		
	US 2000-242265P	P	20001020		
	WO 2001-US8935	W	20010320		
OS	MARPAT	135:272990			
GI					



AB Title compds. [I; Q = (substituted) (fused) piperazinyl, morpholinyl, thiomorpholinyl; R1 = H, alkyl, (substituted) cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), etc.; X = (substituted) alkyl, cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), heterocycl(alkyl), cyano(alkyl), aminosulfonyl(alkyl), etc.; Y = H, alkyl, cycloalkyl(alkyl), (substituted) aryl(alkyl), heterocycl(alkyl), heteroaryl(alkyl)], were prepd. as melanocortin-4 receptor (MC-4R) agonists. Thus, capsule formulations contg. title compd. (II) were prepd. Representative I activated MC-4R with IC50<1 μ M. I are claimed for the treatment of obesity, diabetes, and sexual dysfunction including erectile dysfunction and female sexual dysfunction.

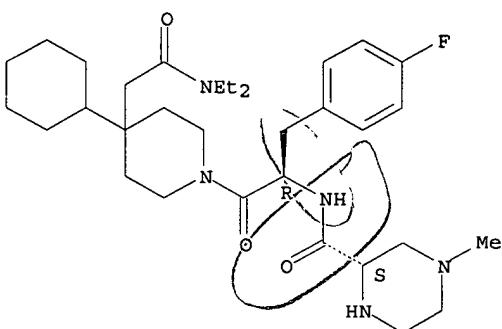
IT 363188-41-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists)

RN 363188-41-4 CAPLUS

CN 2-Piperazinecarboxamide, N-[(1R)-2-[4-cyclohexyl-4-[2-(diethylamino)-2-oxoethyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 2001:355690 CAPLUS

DN 134:340438

TI Preparation of 4-hydroxypiperidine derivatives having antiarrhythmic effect

IN Yamamoto, Ichiro; Itoh, Manabu; Yamasaki, Fumiaki; Miyazaki, Yutaka; Ogawa, Shinichi

PA Japan

SO PCT Int. Appl., 192 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

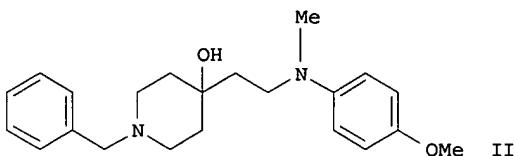
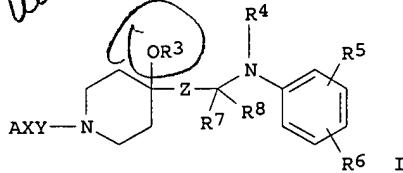
PI WO 2000061557 A1 20001019 WO 2000-JP2331 20000410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1182192 A1 20020227 EP 2000-915467 20000410

10001725

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
US 2002188006 A1 20021212 US 2001-969639 20011004
PRAI JP 1999-103212 A 19990409
WO 2000-JP2331 W 20000410
OS MARPAT 134:340438
GI

W0 by dsw



AB Title compds. [I; A = R1R2C6H3, 3-furyl, 2-thienyl; R1 = H, halo, alkoxycarbonyl, OH, CN, alkoxy; R2 = halo, H; R1R2 = OCH2O; R3 = H; R4 = alkyl; R5 = alkoxy, cycloalkoxy, phenoxy; R6 = H, F, hydroxymethyl, hydroxy, methoxycarbonyl; R7, R8 = H; X = single bond, CH2, CH2CH2, OCH2, CHO; Y = CH2, CHCH3; Z = methylene, CO, electron pair] or salts thereof and medicinal compns. contg. these compds. as the active ingredient in remedy for cardiac dysrhythmias are prep'd. These compds. are useful in preventing and/or treating arrhythmia and are preventives for sudden death as drugs which neither inhibit transient sodium current in cardiac muscles nor show any arrhythmia-inducing effect. Thus, the title compd. II was prep'd. and tested.

IT 337983-29-6P 337983-30-9P 337983-35-4P
337983-60-5P 337983-62-7P 337983-64-9P

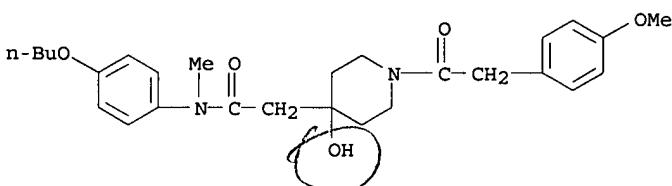
337983-65-0P 337983-66-1P 337983-67-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-hydroxypiperidine derivs. having antiarrhythmic effect)

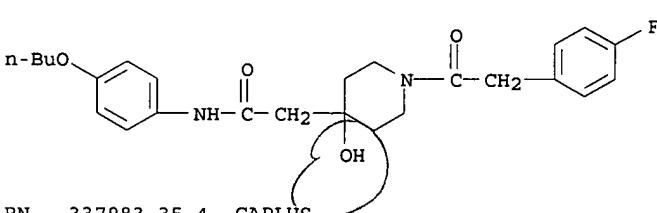
RN 337983-29-6 CAPLUS

CN 4-Piperidineacetamide, N-(4-butoxyphenyl)-4-hydroxy-1-[(4-methoxyphenyl)acetyl]-N-methyl- (9CI) (CA INDEX NAME)



91 167
92 918
117

RN 337983-30-9 CAPLUS
CN 4-Piperidineacetamide, N-(4-butoxyphenyl)-1-[(4-fluorophenyl)acetyl]-4-hydroxy- (9CI) (CA INDEX NAME)

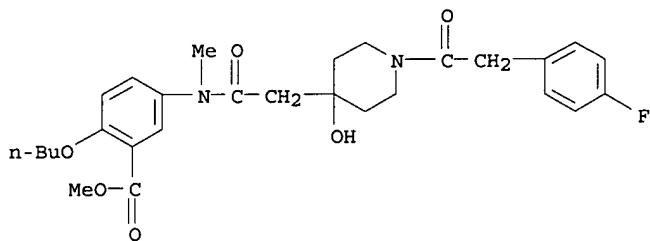


18
238

RN 337983-35-4 CAPLUS
CN Benzoic acid, 2-butoxy-5-[[1-[(4-fluorophenyl)acetyl]-4-hydroxy-4-

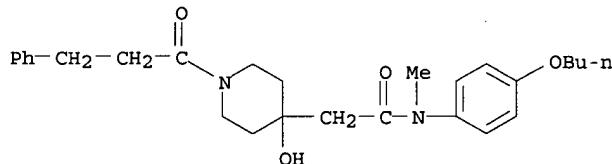
10001725

piperidinyl]acetyl]methylamino]-, methyl ester (9CI) (CA INDEX NAME)



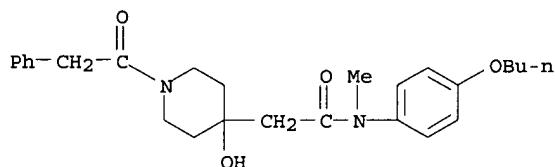
RN 337983-60-5 CAPLUS

CN 4-Piperidineacetamide, N-(4-butoxyphenyl)-4-hydroxy-N-methyl-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



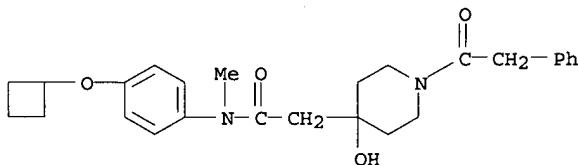
RN 337983-62-7 CAPLUS

CN 4-Piperidineacetamide, N-(4-butoxyphenyl)-4-hydroxy-N-methyl-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



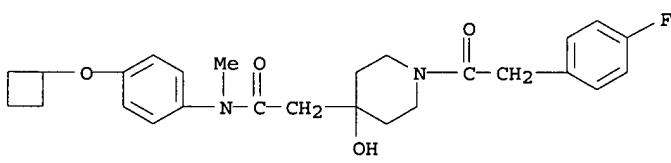
RN 337983-64-9 CAPLUS

CN 4-Piperidineacetamide, N-[4-(cyclobutyloxy)phenyl]-4-hydroxy-N-methyl-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



RN 337983-65-0 CAPLUS

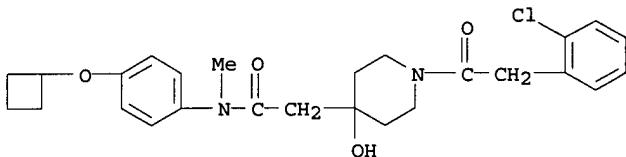
CN 4-Piperidineacetamide, N-[4-(cyclobutyloxy)phenyl]-1-[(4-fluorophenyl)acetyl]-4-hydroxy-N-methyl- (9CI) (CA INDEX NAME)



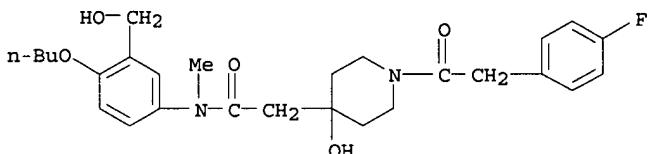
RN 337983-66-1 CAPLUS

CN 4-Piperidineacetamide, 1-[(2-chlorophenyl)acetyl]-N-[4-(cyclobutyloxy)phenyl]-4-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

10001725

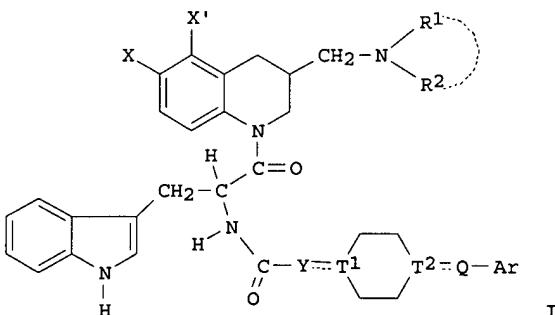


RN 337983-67-2 CAPLUS
CN 4-Piperidineacetamide, N-[4-butoxy-3-(hydroxymethyl)phenyl]-1-[(4-fluorophenyl)acetyl]-4-hydroxy-N-methyl- (9CI) (CA INDEX NAME)



L18 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2001:265411 CAPLUS
DN 134:295840
TI Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors
IN Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro
PA Tadeka Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2001025228 A1 20010412 WO 2000-JP6937 20001005
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU,
CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ,
LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU,
SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2000075568 A5 20010510 AU 2000-75568 20001005
JP 2002088079 A2 20020327 JP 2000-311723 20001005
EP 1227090 A1 20020731 EP 2000-964676 20001005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL
PRAI JP 1999-286939 A 19991007
JP 2000-215837 A 20000711
WO 2000-JP6937 W 20001005
OS MARPAT 134:295840
GI



10001725

AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-contg. heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted arom. group, hydrogen, etc.; a provision is given] are prep'd. In an in vitro test for inhibition of binding to the somatostatin receptor type 2, several compds. of this invention showed IC₅₀ of 0.6 to 2 nM. Formulations are given.

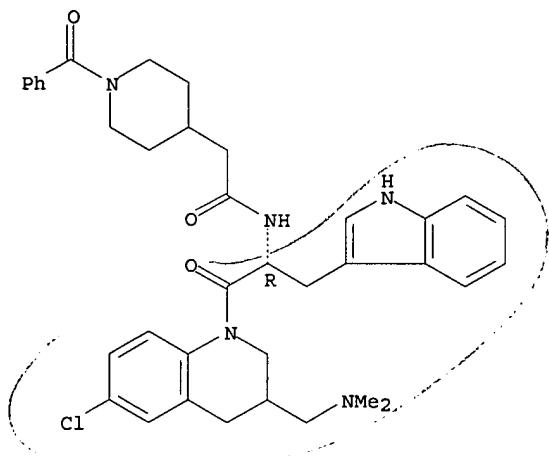
IT 333952-73-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)

RN 333952-73-1 CAPLUS

CN 4-Piperidineacetamide, 1-benzoyl-N-[(1R)-2-[6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 2000:756669 CAPLUS

DN 133:321706

TI Preparation of arylamido-substituted (hetero)cycloalkylacetamides as MMP and TNF-.alpha. inhibitors

IN Neya, Masahiro; Yamazaki, Hitoshi; Sato, Kentaro; Yoshida, Noriko; Imamura, Yoshimasa; Setoi, Hiroyuki

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 72 pp.

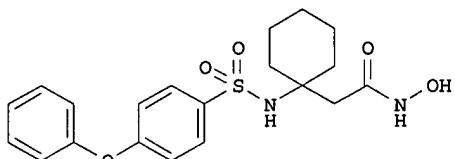
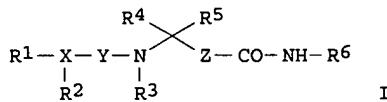
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000063165	A1	20001026	WO 2000-JP2508	20000417
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1171422	A1	20020116	EP 2000-917336	20000417
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002542228	T2	20021210	JP 2000-612261	20000417
PRAI	AU 1999-9823	A	19990419		
	WO 2000-JP2508	W	20000417		
OS	MARPAT	133:321706			
GI					



1

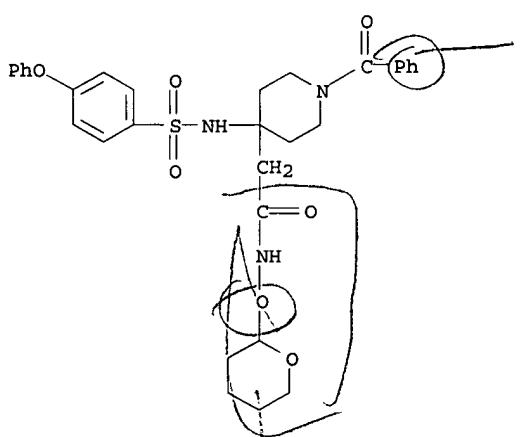
II

AB The title compds. (I) [wherein R1 = halo, NO₂, alkoxy, (un)substituted aryloxy, arylthio, aroyl, heterocyclyloxy, or (un)substituted aryl or heterocyclyl; R2 = H or halo; R3 = H or alkyl; R4 and R5 = independently H or (cyclo) alkyl; or R4 and R5 together form an alkylene group, which is optionally interrupted by O, S, S(O), SO₂, or (un)monosubstituted N; R6 = (protected) OH; X = aryl or heterocyclyl; Y = C(O) or SO₂; and Z = alkylene] were prep'd. as matrix metalloproteinase (MMP) or tumor necrosis factor .alpha. (TNF-.alpha.) inhibitors. For example, 4-phenoxybenzenesulfonyl chloride in CH₂Cl₂ was coupled with N-(2-tetrahydropyranloxy)-2-(1-aminocyclohexyl)acetamide (prepn. given) in pyridine to give the benzenesulfonamide. Stirring the sulfonamide with HCl in MeOH for 30 min at room temp. afforded II. In an inhibitory activity assay, II suppressed the truncated form of human recombinant MMP-13 with an IC₅₀ value of 4.7 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other diseases characterized by MMP activity, as well as AIDS, sepsis, septic shock, and other autoimmune and inflammatory diseases caused by the prodn. of TNF-.alpha.

IT 303038-12-2P, N-(2-Tetrahydropyranoyloxy)-2-[1-benzoyl-4-(4-phenoxybenzenesulfonylamino)piperidin-4-yl]acetamide 303038-15-5P
, N-Hydroxy-2-[1-cyclopropylcarbonyl-4-(4-phenoxybenzenesulfonylamino)piperidin-4-yl]acetamide 303038-31-5P, N-Hydroxy-2-[1-benzoyl-4-(4-phenoxybenzenesulfonylamino)piperidin-4-yl]acetamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylamido (hetero)cycloalkylacetamide MMP and TNF-.alpha. inhibitors by coupling amino-substituted (hetero)cycloalkylacetamides

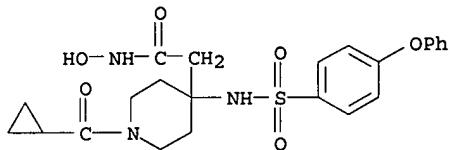
with acid chloro-

RN 303038-12-2 CAplus
CN 4-Piperidineacetamide, 1-benzoyl-4-[[[(4-phenoxyphenyl)sulfonyl]amino]-N-[(tetrahydro-2H-pyran-2-yl)oxy]- (9CI) (CA INDEX NAME)

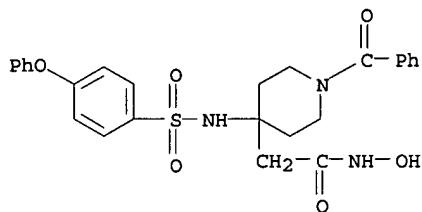


RN 303038-15-5 CAPLUS

CN 4-Piperidineacetamide, 1-(cyclopropylcarbonyl)-N-hydroxy-4-[(4-phenoxyphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 303038-31-5 CAPLUS
 CN 4-Piperidineacetamide, 1-benzoyl-N-hydroxy-4-[(4-phenoxyphenyl)sulfonyl]amino- (9CI) (CA INDEX NAME)

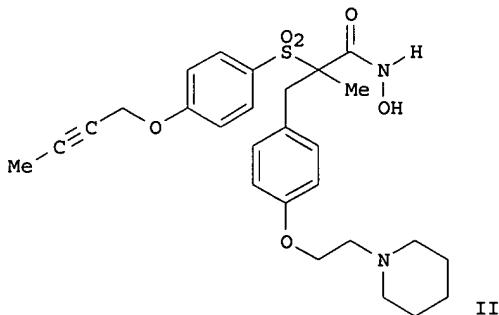
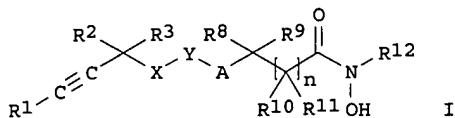


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS
 AN 2000:535106 CAPLUS
 DN 133:150348
 TI Preparation of alkynyl containing hydroxamic acid compounds as TACE inhibitors
 IN Levin, Jeremy Ian; Venkatesan, Aranapakam Mudumbai; Cole, Derek Cecil; Chen, James Ming; Davis, Jamie Marie; Grosu, George Theodore
 PA American Cyanamid Company, USA
 SO PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000044713	A1	20000803	WO 2000-US2078	20000127
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1147080	A1	20011024	EP 2000-911652	20000127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000007783	A	20020205	BR 2000-7783	20000127
NO 2001003677	A	20010920	NO 2001-3677	20010726
PRAI US 1999-239088	A	19990127		
WO 2000-US2078	W	20000127		
OS MARPAT 133:150348				
GI				

10001725



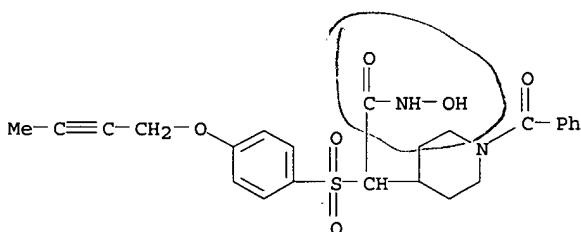
AB The title compds. [I; R1 = H, aryl, heteroaryl, etc.; R2, R3 = H, alkyl, CN, CCH; R8-R11 = H, aryl, aralkyl, etc.; R12 = H, aryl, 5-10 membered heteroaryl having 1-3 heteroatoms selected from N, S, O, etc.; A = O, S, SO, etc.; X = O, S, SO, etc.; Y = aryl, heteroaryl, with the proviso that A and X are not bonded to adjacent atoms of Y; n = 0-2] and their pharmaceutically acceptable salts, useful in treating disease conditions mediated by TNF-.alpha., such as rheumatoid arthritis, osteoarthritis, sepsis, AIDS, ulcerative colitis, multiple sclerosis, Crohn's disease and degenerative cartilage loss, were prepd. E.g., a multi-step synthesis of II.HCl which showed IC50 of 191 nM against TACE, and IC50 of 2 nM, 180 nM, and 200 nM against MMP-1, MMP-9, and MMP-13, resp., was given.

IT 287392-63-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of alkynyl contg. hydroxamic acid compds. as TACE inhibitors)

RN 287392-63-6 CAPLUS

CN 4-Piperidineacetamide, 1-benzoyl-.alpha.-[(4-(2-butynyloxy)phenyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 2000:142525 CAPLUS

DN 132:180486

TI Preparation of benzo[5,6]cyclohepta[1,2-b]pyridines for the inhibition of farnesyl protein transferase

IN Njoroge, F. George; Taveras, Arthur G.; Doll, Ronald J.; Lalwani, Tarik; Alvarez, Carmen; Remiszewski, Stacy W.

PA Schering Corporation, USA

SO U.S., 73 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6030982	A	20000229	US 1997-927731	19970911
	US 6040305	A	20000321	US 1997-927470	19970911

10001725

US 6228856	B1	20010508	US 1999-417885	19991014
US 2002019400	A1	20020214	US 2001-797081	20010301
US 6387905	B2	20020514		
PRAI US 1996-25249P	P	19960913		
US 1997-50009P	P	19970617		
US 1996-26114P	P	19960913		
US 1997-927731	A3	19970911		
US 1999-417885	A3	19991014		
OS MARPAT 132:180486				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (I) [wherein a, b, c, and d = N or NR9; R1, R3, and R4 = halo; R2 = H; R5, R6, R7, and R8 = independently H, CF3, COR10, (un)substituted alkyl or aryl, :O, or :S; R9 = :O, Me, or (CH2)nCO2H; R10 = H, (ar)alkyl, or aryl; R11 = alkyl or aryl; R12 = H, (ar)alkyl, heteroarylalkyl; R13 and R14 = independently H, carboxy, sulfamido, acyl, (ar)alkyl, cycloalkyl, etc.; X = CH or C; A and B = independently R10, halo, OR11, OCO2R11, OC(O)R10, H2, (OR11)2, H and halo, dihalo, H and alkyl, (alkyl)2, H and OC(O)R10, H and OR10, H and aryl, :O, :NOR10, or O(CH2)pO; W = C(O)CHR12(CH2)rNR13R14; n = 1-3; p = 2-4; r = 0-2] were prepd. as antitumor agents. The compds. of the invention inhibit farnesyl protein transferase (FPT) and farnesylation of the oncogene protein Ras, thereby blocking abnormal cell growth. Examples include syntheses and bioassay data for over 100 title compds. For instance, the piperidine deriv. II (prepn. given) underwent a sequence of: (1) acylation with N-BOC-glycine (85%); (2) N-deprotection with TFA (68%); and (3) sulfamidation with MeSO2Cl in the presence of TEA (89%), to give the title compd. III. The latter inhibited farnesyl protein transferase in vitro with IC50 of 5 nM and inhibited Ras processing in a COS cell-based assay with IC50 of 30 nM.

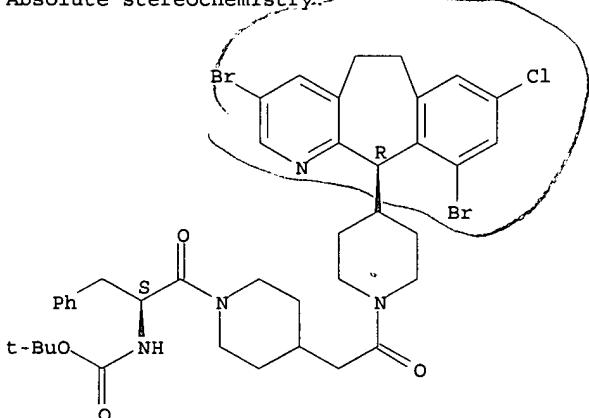
IT 210646-11-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.: prepn. of benzo[5,6]cyclohepta[1,2-b]pyridines as farnesyl protein transferase inhibitors for the treatment of cancer)

RN 210646-11-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



IT 210646-14-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.: prepn. of benzo[5,6]cyclohepta[1,2-b]pyridines as farnesyl protein transferase inhibitors for the treatment of cancer)

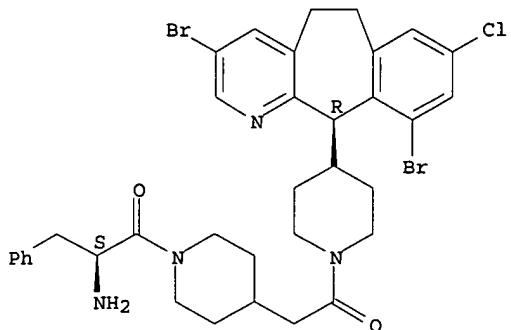
RN 210646-14-3 CAPLUS

CN Piperidine, 1-[(2S)-2-amino-3-phenyl-1-oxopropyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-

10001725

1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

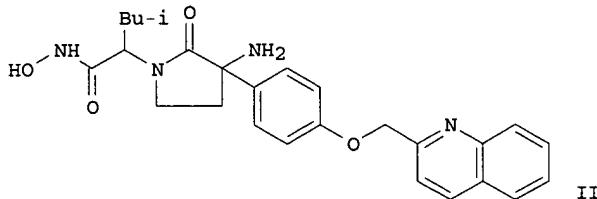
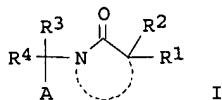
Absolute stereochemistry.



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1999:244635 CAPLUS
DN 130:296611
TI Preparation of novel lactam as metalloprotease inhibitors
IN Duan, Jinguw; Decicco, Carl P.; Wasserman, Zelda R.; Maduskuie, Thomas P., Jr.
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9918074	A1	19990415	WO 1998-US21037	19981002
	W:	AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
ZA	9808967	A	20000403	ZA 1998-8967	19981001
CA	2305679	AA	19990415	CA 1998-2305679	19981002
AU	9896866	A1	19990427	AU 1998-96866	19981002
AU	747239	B2	20020509		
US	6057336	A	20000502	US 1998-165747	19981002
EP	1027332	A1	20000816	EP 1998-950954	19981002
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
BR	9815398	A	20001031	BR 1998-15398	19981002
JP	2001519331	T2	20011023	JP 2000-514886	19981002
NO	2000000783	A	20000529	NO 2000-783	20000217
PRAI	US 1997-62418P	P	19971003		
	WO 1998-US21037	W	19981002		
OS	MARPAT				
GI					



AB Title compds. [I; A is selected from COOH, CH₂COOH, CONHOH, SH, CH₂SH, PO(OH)₂, etc.; ring B is a 4-8 membered cyclic amide contg. 0-3 heteroatoms from O, N, and S, etc.; R1 is phenylmethoxyphenyl, phenoxyphenyl, etc.; R2 is H, CH₃, Et, i-Pr, etc.; R1-R2 combine to form heterocyclic; R3 is H, alkylene, heterocyclic, etc.; R4 is H, alkylene, etc.; R3-R4 combine to form heterocyclic], stereoisomer, and pharmaceutically acceptable salt thereof are prep'd. as useful metalloprotease inhibitors. Thus, compd. II was prep'd. via alkylation, oxidn., amination, and cyclization.

IT 223404-57-7P 223404-72-6P 223408-09-1P

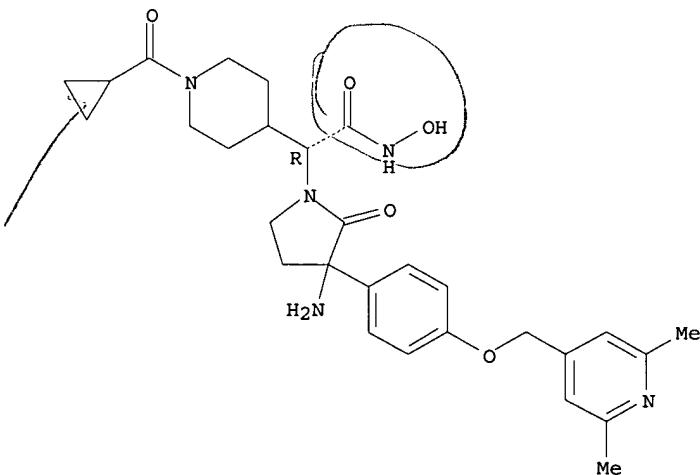
223408-21-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel lactam metalloprotease inhibitors)

RN 223404-57-7 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-(cyclopropylcarbonyl)-N-hydroxy-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

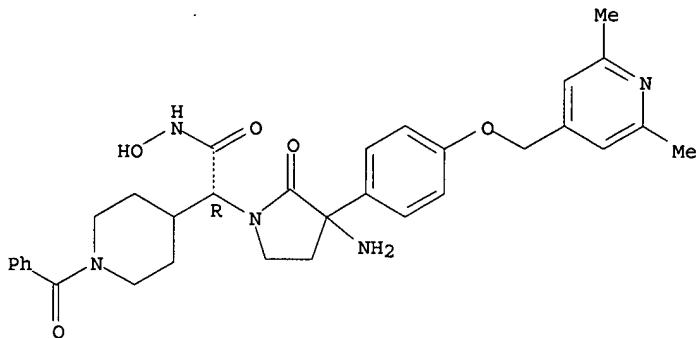


RN 223404-72-6 CAPLUS

CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-benzoyl-N-hydroxy-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10001725



RN 223408-09-1 CAPLUS

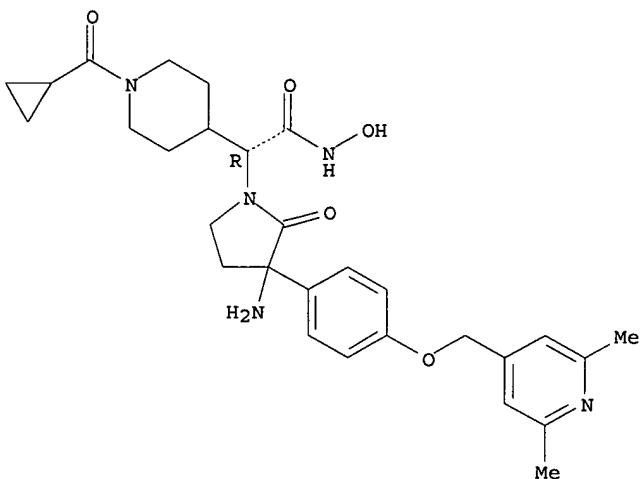
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-(cyclopropylcarbonyl)-N-hydroxy-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 223404-57-7

CMF C29 H37 N5 O5

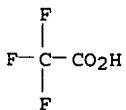
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 223408-21-7 CAPLUS

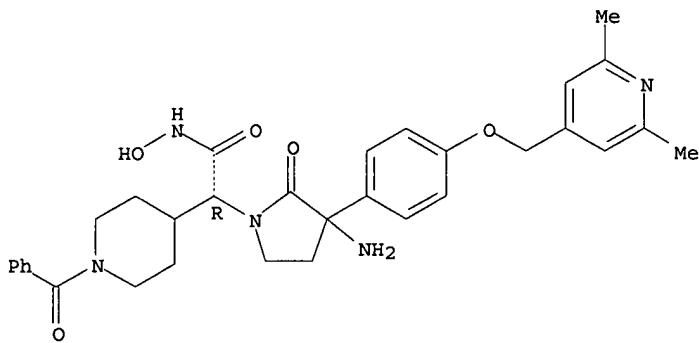
CN 4-Piperidineacetamide, .alpha.-[3-amino-3-[4-[(2,6-dimethyl-4-pyridinyl)methoxy]phenyl]-2-oxo-1-pyrrolidinyl]-1-benzoyl-N-hydroxy-, (.alpha.R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

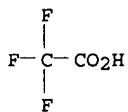
CRN 223404-72-6

CMF C32 H37 N5 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2003 ACS
 AN 1999:53389 CAPLUS
 DN 130:139358
 TI Preparation and formulation of tricyclic compounds useful for inhibition of farnesyl protein transferase
 IN Taveras, Arthur G.; Mallams, Alan K.; Afonso, Adriano; Remiszewski, Stacy W.; Njoroge, F. George; Doll, Ronald; Lalwani, Tarik; Alvarez, Carmen
 PA Schering Corporation, USA
 SO U.S., 71 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5861395	A	19990119	US 1997-927469	19970911
PRAI US 1997-927469		19970911		
OS MARPAT 130:139358				
GI				

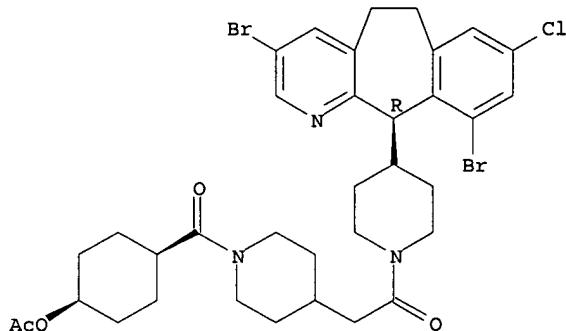
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds., e.g., I [W = cyano, etc.; R1 = H, halo, etc.; R3, R4 = H, halo, CF₃, etc.; or R3R4 = satd. or unsatd. C5 - C7 fused ring to the benzene ring; X represents N, CH, or C, which C may contain an optional double bond (represented by the dotted line); dotted line represents an optional double bond; when such a double bond is present between the two C atoms bearing A and B, A and B independently represent R10, halo, etc.; when no such double is present, A and B each independently represent H₂, (OR11)₂, H and halo, dihalo, etc.]; R10 = H, alkyl, etc.; R11 = alkyl, aryl] are prepd. The title compd. II in vitro showed IC₅₀ of 0.1 .mu.M against farnesyl protein transferase.
 IT 204712-39-0P 204712-46-9P 204712-54-9P
 204712-55-0P 204712-56-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of tricyclic compds. useful for inhibition of farnesyl protein transferase)
 RN 204712-39-0 CAPLUS

10001725

CN Piperidine, 1-[(*cis*-4-(acetoxy)cyclohexyl)carbonyl]-4-[2-[(11*R*)-3,10-dibromo-8-chloro-6,11-dihydro-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

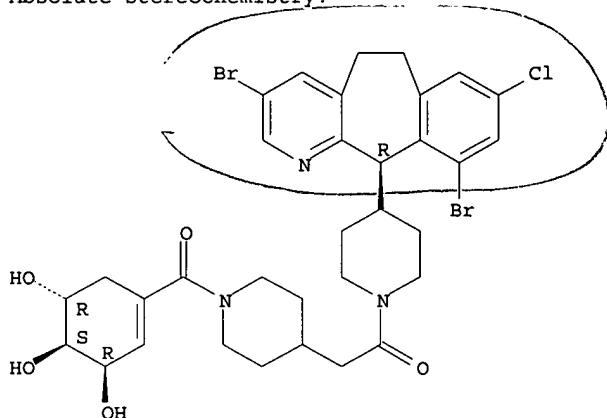
Absolute stereochemistry.



RN 204712-46-9 CAPLUS

CN Piperidine, 4-[(2-[(11*R*)-3,10-dibromo-8-chloro-6,11-dihydro-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-yl]-1-piperidinyl)-2-oxoethyl]-1-[(3*R*,4*S*,5*R*)-3,4,5-trihydroxy-1-cyclohexen-1-yl]carbonyl]-(9CI) (CA INDEX NAME)

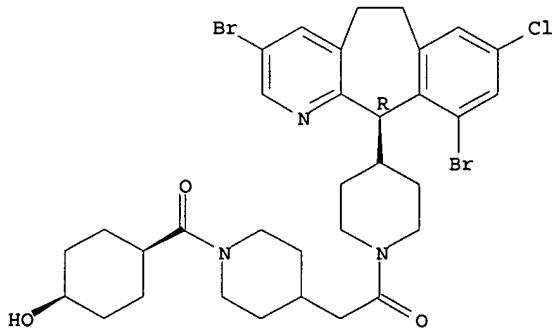
Absolute stereochemistry.



RN 204712-54-9 CAPLUS

CN Piperidine, 4-[(11*R*)-3,10-dibromo-8-chloro-6,11-dihydro-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-yl]-1-[(1-[(*cis*-4-hydroxycyclohexyl)carbonyl]-4-piperidinyl)acetyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



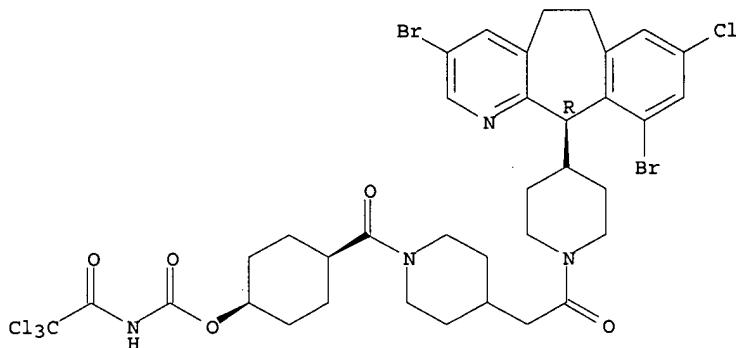
RN 204712-55-0 CAPLUS

CN Carbamic acid, (trichloroacetyl)-, *cis*-4-[(4-[(11*R*)-3,10-dibromo-8-chloro-6,11-dihydro-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-yl]-1-piperidinyl)-2-oxoethyl]-1-piperidinyl]carbonyl]cyclohexyl ester (9CI)

10001725

(CA INDEX NAME)

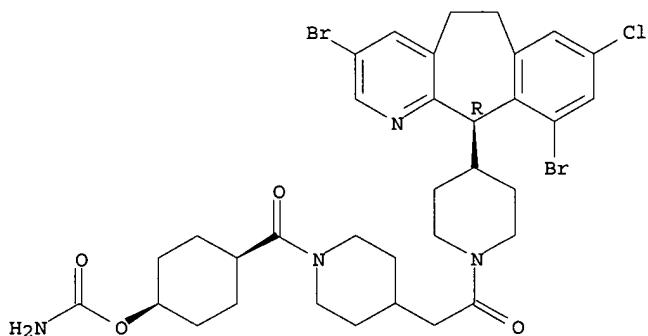
Absolute stereochemistry.



RN 204712-56-1 CAPLUS

CN Piperidine, 1-[[cis-4-[(aminocarbonyl)oxy]cyclohexyl]carbonyl]-4-[2-[4-[(11R)-3,10-dibromo-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1998:490637 CAPLUS

DN 129:136181

TI Preparation of benzocycloheptypyridines for inhibition of farnesyl protein transferase

IN Njoroge, F. George; Taveras, Arthur G.; Doll, Ronald J.; Lalwani, Tarik; Alvarez, Carmen; Remiszewski, Stacy W.

PA Schering Corp., USA

SO PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9830558	A2	19980716	WO 1997-US24295 19970911
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WO 9830558	A3	19981008
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W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9872432	A1	19980803	AU 1998-72432	19970911
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BR 9712035	A	19990824	BR 1997-12035	19970911
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EP 942906	A2	19990922	EP 1997-955043	19970911
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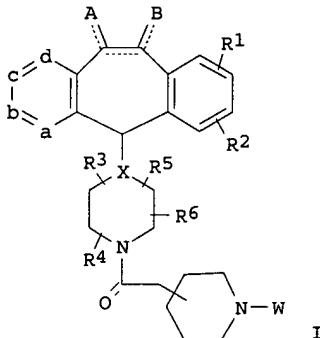
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO

CN 1248253	A	20000322	CN 1997-199595	19970911
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10001725

JP 2002515052	T2 20020521	JP 1998-524961	19970911
NO 9901231	A 19990514	NO 1999-1231	19990312
PRAI US 1996-710225	A 19960913		
US 1997-877453	A 19970617		
WO 1997-US24295	W 19970911		

GI



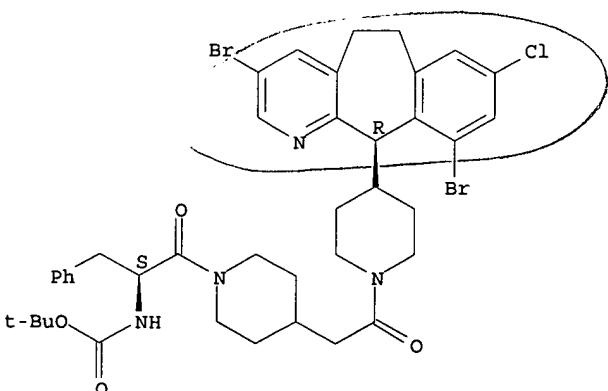
AB Title compds. [I; A = H, halo, alkoxy, O=, etc.; B = H, halo, alkoxy, O=, etc.; a, b, c, d represents N, CH3N, N(CH2)nCO2H, CH, CCF3, CCN, etc.; n = 1-3; R1 = H; R2 = H; R1-R2 = C5-C7 fused ring; R3, R4, R5, R6 each independently represents H, CF3, alkyl, alkylthio, NO2, etc.; X = N, CH, C; W = aminoalkylcarbonyl, alkylcarbonyl, etc.; the dotted line represents an optional double bond], their pharmaceutically acceptable salts, solvates, stereoisomers are prep'd. as inhibitors of farnesyl protein transferase in tumor cells delivered in the form of capsules or tablets (no data). The title compd. I (A = H2; B = H2; a = N; b = CH; d = CH; c = CBr; X = CH; R3 = H; R4 = H; R5 = H; R6 = H; W = COCONHCH2COOH; carbonylmethyl bonded to 4-piperidinyl) was prep'd. as (R)-isomer.

IT 210646-11-0P 210646-14-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzocycloheptapyridines as farnesyl protein transferase inhibitors)

RN 210646-11-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

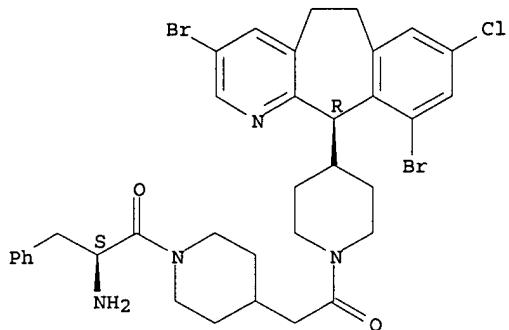
Absolute stereochemistry.



RN 210646-14-3 CAPLUS

CN Piperidine, 1-[(2S)-2-amino-3-phenyl-1-oxopropyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1998:180864 CAPLUS

DN 128:230251

TI Preparation of benzocycloheptapyridines as farnesyl protein transferase inhibitors

IN Taveras, Arthur G.; Mallams, Alan K.; Afonso, Adriano; Remiszewski, Stacy W.; Njoroge, F. George; Doll, Ronald J.; Lalwani, Tarik; Alvarez, Carmen

PA Schering Corp., USA

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

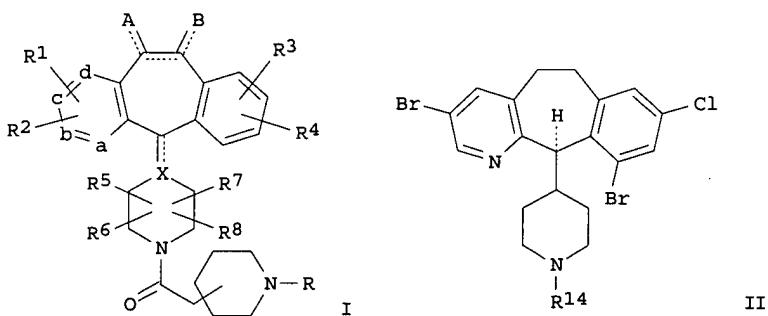
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9811091	A2	19980319	WO 1997-US19976	19970911
	WO 9811091	A3	19980611		
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9851966	A1	19980402	AU 1998-51966	19970911
	EP 934303	A2	19990811	EP 1997-946875	19970911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
	CN 1237164	A	19991201	CN 1997-199597	19970911
	BR 9712980	A	20000418	BR 1997-12980	19970911
	JP 2001500515	T2	20010116	JP 1998-514032	19970911
	NO 9901235	A	19990510	NO 1999-1235	19990312
	KR 2000036110	A	20000626	KR 1999-702133	19990312
PRAI	US 1996-713297	A	19960913		
	US 1997-877453	A	19970617		
	WO 1997-US19976	W	19970911		

OS MARPAT 128:230251

GI



AB Title compds. [I; 1 of a,b,c,d = N or NR9 and the others = CR1 or CR2; A,B

10001725

= halo, R10, OR11, H2, H and halo, H and alkyl, etc.; R1-R4 = H, halo, alkoxy, (di)alkylamino, etc.; R3R4 = atoms to complete a ring; R5-R8 = H, (alkoxy)alkyl, alkanoyl, aryl, etc.; R9 = oxido, Me, (CH2)nCO2H; R10 = H, (ar)alkyl, aryl; R11 = alkyl or aryl; X = N, C, CH; n = 1-3; R = cyano, COR12, C(:NR13)OR14, C(:NR13)NR10R16, etc.; R12 = H, alkyl, heterocyclyl, etc.; R13 = H, cyano, alkylsulfonyl, alkanoyl, (un)substituted SO2NH2, etc.; R14 = aryl; R16 = (cyclo)alkyl, (hetero)aryl(alkyl), heterocyclylalkyl] were prep'd. Thus, title compd. II (R14 = H) was N-acylated with PhOCN to give II (R14 = 1-phenoxy carbonyl) piperidine-4-acetyl). Data for biol. activity of I were given.

IT 204712-39-0P 204712-46-9P 204712-54-9P

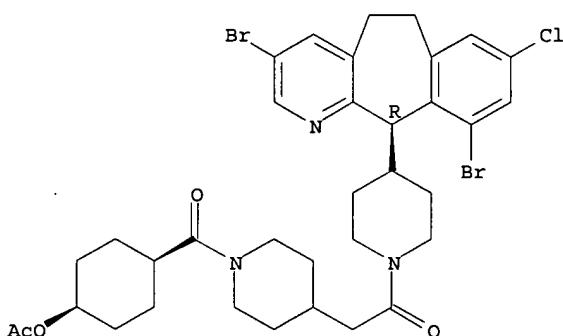
204712-55-0P 204712-56-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzocycloheptapyridines as farnesyl protein transferase inhibitors)

RN 204712-39-0 CAPLUS

CN Piperidine, 1-[(cis-4-(acetoxy)cyclohexyl]carbonyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

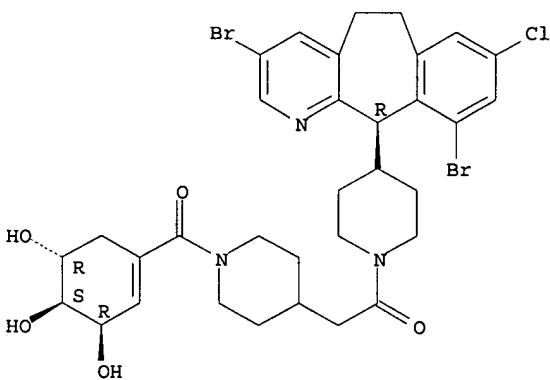
Absolute stereochemistry.



RN 204712-46-9 CAPLUS

CN Piperidine, 4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-[(3R,4S,5R)-3,4,5-trihydroxy-1-cyclohexen-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

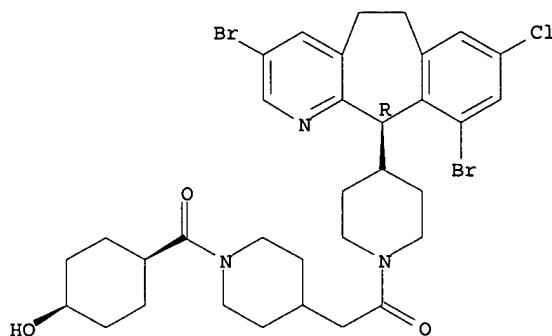


RN 204712-54-9 CAPLUS

CN Piperidine, 4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-[(1-[(cis-4-hydroxycyclohexyl)carbonyl]-4-piperidinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

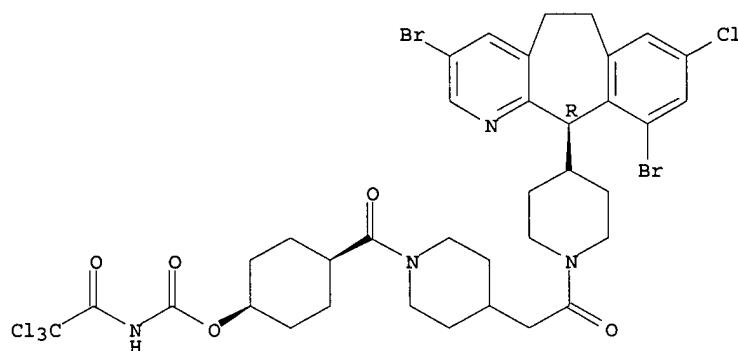
10001725



RN 204712-55-0 CAPLUS

CN Carbamic acid, (trichloroacetyl)-, cis-4-[[4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]-1-piperidinyl]carbonyl]cyclohexyl ester (9CI) (CA INDEX NAME)

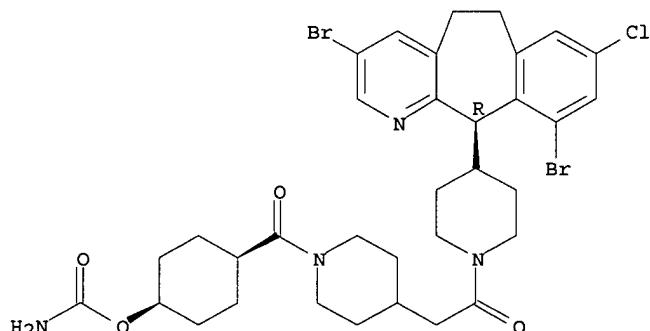
Absolute stereochemistry.



RN 204712-56-1 CAPLUS

CN Piperidine, 1-[[cis-4-[(aminocarbonyl)oxy]cyclohexyl]carbonyl]-4-[2-[4-[(11R)-3,10-dibromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1995:229457 CAPLUS

DN 122:22870

TI Molecular analytical release tags and their use in chemical analysis

IN Giese, Roger W.

PA Northeastern University, USA

SO U.S., 20 pp. Cont.-in-part of U.S. 4,709,016.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5360819	A	19941101	US 1985-710318	19850311
	US 4709016	A	19871124	US 1982-344394	19820201
	DK 8300364	A	19830802	DK 1983-364	19830131
	ES 519424	A1	19840801	ES 1983-519424	19830131
	CA 1246058	A1	19881206	CA 1983-420574	19830131
	JP 58146540	A2	19830901	JP 1983-15359	19830201
	US 4650750	A	19870317	US 1984-591262	19840319
	US 5516931	A	19960514	US 1993-53608	19930422
	US 5602273	A	19970211	US 1996-598468	19960208
	US 5604104	A	19970218	US 1996-598691	19960208
	US 5610020	A	19970311	US 1996-598439	19960208

PRAI US 1982-344394

US 1985-710318

US 1993-53608

OS MARPAT 122:22870

AB A release tag reagent suitable for use in the chem. anal. of a substance to be detected, which substance contains reactive groups, such as for, but not limited to gas phase detection groups, which reagent comprises three covalently bonded groups: a signal group which on release provides a ketone signal compd. to be detected, a release group which may be cleaved to release the ketone signal group, which release group contains, for example, a vic glycol or an olefin group and a reactivity group which is reactive with a reactive group of the substance to be detected.

IT 159732-96-4P

RL: ANT (Analyte); SPN (Synthetic preparation); ANST (Analytical study);

PREP (Preparation)

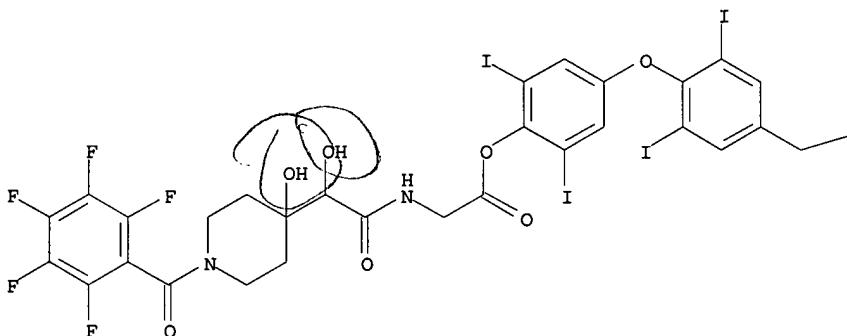
(prepn. and anal. of)

RN 159732-96-4 CAPLUS

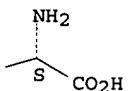
CN L-Tyrosine, O-[4-[[[hydroxy[4-hydroxy-1-(pentafluorobenzoyl)-4-piperidinyl]acetyl]amino]acetyl]oxy]-3,5-diiodophenyl]-3,5-diido- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



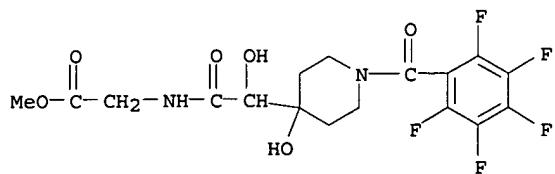
IT 131693-25-9P 159732-95-3P

RL: ANT (Analyte); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction and anal. of)

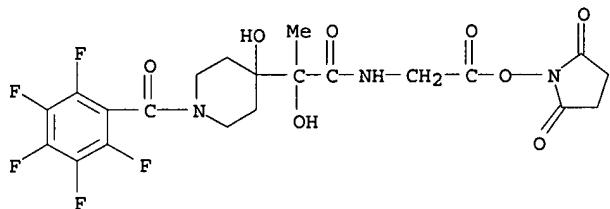
RN 131693-25-9 CAPLUS

CN Glycine, N-[hydroxy[4-hydroxy-1-(pentafluorobenzoyl)-4-piperidinyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

10001725

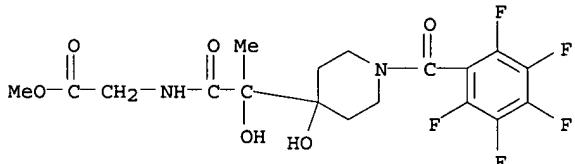


RN 159732-95-3 CAPLUS
CN 4-Piperidineacetamide, N-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-.alpha.,4-dihydroxy-.alpha.-methyl-1-(pentafluorobenzoyl)- (9CI) (CA INDEX NAME)

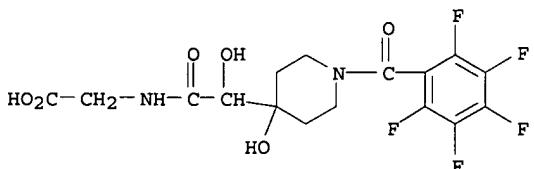


IT 159732-92-0P 159732-93-1P 159732-94-2P
159732-97-5P
RL: ANT (Analyte); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction and anal.of)

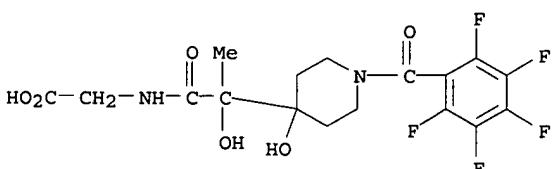
RN 159732-92-0 CAPLUS
CN Glycine, N-[2-hydroxy-2-[4-hydroxy-1-(pentafluorobenzoyl)-4-piperidinyl]-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 159732-93-1 CAPLUS
CN Glycine, N-[hydroxy[4-hydroxy-1-(pentafluorobenzoyl)-4-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)



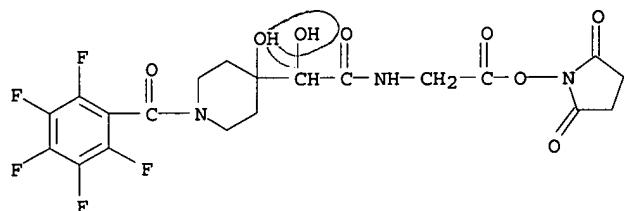
RN 159732-94-2 CAPLUS
CN Glycine, N-[2-hydroxy-2-[4-hydroxy-1-(pentafluorobenzoyl)-4-piperidinyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 159732-97-5 CAPLUS

10001725

CN 4-Piperidineacetamide, N-[2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-.alpha.,4-dihydroxy-1-(pentafluorobenzoyl)- (9CI) (CA INDEX NAME)



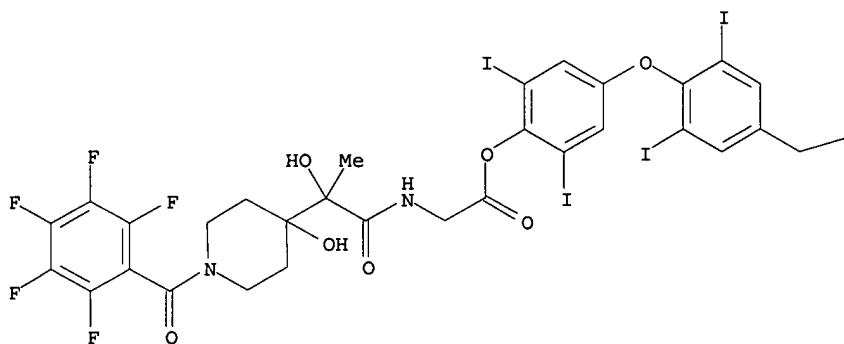
IT 159732-98-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 159732-98-6 CAPLUS

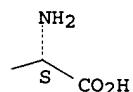
CN L-Tyrosine, O-[4-[[[2-hydroxy-2-[4-hydroxy-1-(pentafluorobenzoyl)-4-piperidinyl]-1-oxopropyl]amino]acetyl]oxy]-3,5-diiodophenyl]-3,5-diiodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L18 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1992:651780 CAPLUS

DN 117:251780

TI amidinobiphenyl, aminobiphenyl or cyanobiphenyl derivatives [e.g. 4'-amidino-4-[(2-carboxyethyl)amino]carbonyl]biphenyl], methods for their preparation and their use for the treatment of diseases associated with cell aggregation

IN Himmelsbach, Frank; Pieper, Helmut; Austel, Volkhard; Linz, Guenter; Mueller, Thomas; Eisert, Wolfgang; Weisenberger, Johannes

PA Thomae, Dr. Karl, G.m.b.H., Germany

SO Eur. Pat. Appl., 41 pp.

CODEN: EPXXDW

DT Patent

LA German

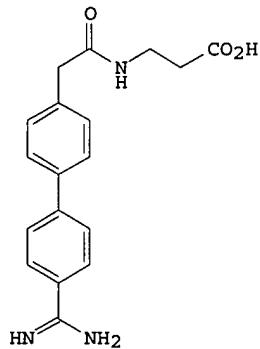
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 496378	A1	19920729	EP 1992-101007	19920122
	EP 496378	B1	19950920		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE

10001725

DE 4102024	A1	19920730	DE 1991-4102024	19910124
AT 128120	E	19951015	AT 1992-101007	19920122
ES 2079694	T3	19960116	ES 1992-101007	19920122
NO 9200320	A	19920727	NO 1992-320	19920123
NO 177852	B	19950828		
NO 177852	C	19951206		
AU 9210403	A1	19920730	AU 1992-10403	19920123
AU 648379	B2	19940421		
HU 60462	A2	19920928	HU 1992-212	19920123
JP 04334351	A2	19921120	JP 1992-9703	19920123
ZA 9200464	A	19930723	ZA 1992-464	19920123
US 5597825	A	19970128	US 1994-257759	19940516
US 5736559	A	19980407	US 1996-691107	19960801
US 5922763	A	19990713	US 1997-978739	19971126
PRAI	DE 1991-4102024	19910124		
	US 1992-825246	19920124		
	US 1994-257759	19940516		
	US 1996-691107	19960801		
OS	CASREACT 117:251780;	MARPAT 117:251780		
GI				

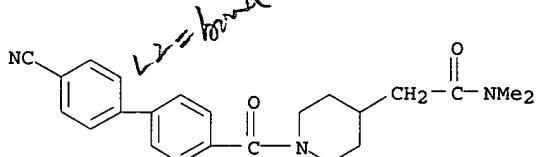


AB Certain biphenyl derivs., e.g., 4'-amidino-4-[(2-carboxyethyl)amino]carbonylbiphenyl N-[[[4'-amidino-1,1'-(biphenyl-4-yl)]methyl]carbonyl]-beta.-alanine (I) or 4'-amidino-4-[(4-carboxymethyl)piperazino]carbonylbiphenyl, etc., are claimed. The use of these compds. is claimed for the treatment of diseases assocd. with cell aggregation and cell-matrix interactions. Thus, these compds. are useful for the treatment of bone degeneration, or as neoplasm inhibitors (no data), or as antithrombotics, blood platelet aggregation inhibitors. I was prepnd. in several steps and it had activity as antithrombotic and it inhibited binding of fibrinogen to human thrombocytes.

IT 144529-85-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as anticoagulant and antithrombotic)

RN 144529-85-1 CAPLUS

CN 4-Piperidineacetamide, 1-[(4'-cyano[1,1'-biphenyl]-4-yl)carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



L18 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1991:6302 CAPLUS

DN 114:6302

TI Preparation of piperidine derivatives as cholinergics

IN Sugimoto, Hachiro; Tsuchiya, Yutaka; Higure, Kunizo; Karibe, Norio; Iimura, Yoichi; Sasaki, Atsushi; Yamanishi, Yoshiharu; Ogura, Hiroo; Araki, Shin; Et, Al.

PA Eisai Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 54 pp.

10001725

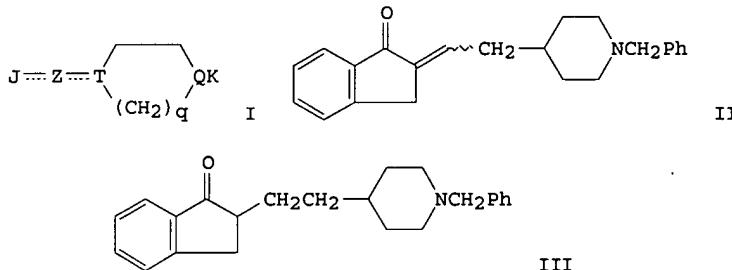
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02169569	A2	19900629	JP 1988-324620	19881222
	JP 2777159	B2	19980716		
PRAI	JP 1988-324620		19881222		
OS	MARPAT 114:6302				
GI					



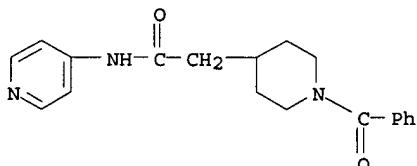
AB The title compds. I [J = (substituted) Ph, pyridyl, quinolyl, indenyl, etc.; Z = (R₂CH)_n, CO(CHR₂)_n, etc.; n = 0-10; R₂ = H, Me; T = N, C; Q = N, C, etc.; K = H, (substituted) Ph, cinnamyl, etc.; q = 1-3; dotted line indicates either single or double bond] were prep'd. Hydrogenation of piperidine deriv. II in MeOH contg. 5% Rh-C under hydrogen gave a piperidine deriv. III. III in vitro exhibited an IC₅₀ of 0.23 .mu.M against acetylcholinesterase

IT 120012-14-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholinergic)

RN 120012-14-8 CAPLUS

CN 4-Piperidineacetamide, 1-benzoyl-N-4-pyridinyl-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

L18 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1990:77959 CAPLUS

DN 112:77959

TI Preparation of linear analogs of atrial natriuretic peptides as natriuretics, diuretics, or vasodilators

IN Scarborough, Robert M.; Lewicki, John A.; Johnson, Lorin K.

PA California Biotechnology, Inc., USA

SO Eur. Pat. Appl., 57 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 323740	A2	19890712	EP 1988-312221	19881222
	EP 323740	A3	19901212		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5047397	A	19910910	US 1988-285916	19881216
	ZA 8809598	A	19891025	ZA 1988-9598	19881222
PRAI	US 1987-138893	A	19871224		

US 1988-237299 A 19880826
 US 1988-285916 A 19881216
 US 1985-795220 B2 19851105
 US 1986-868312 A2 19860528
 US 1986-904091 B2 19860904
 US 1986-921360 B2 19861028
 US 1988-168661 A2 19880316

AB Z1Z2-A1-A2-A3-A4-A5-Z3 [I; A1, A4 = basic/noncyclic, neutral/nonpolar/small, or neutral/polar/large/nonarom. amino acid residue; A1 = neutral/nonpolar/large/nonarom. amino acid residue; A2 = neutral/nonpolar/large/nonarom. D- or L-amino acid residue; A3 = acidic amino acid residue; A5 = neutral/nonpolar/large/nonarom. D- or L-amino acid residue; Z1 = peptide of 1-125 amino acids having its carboxy-terminal residue a hydrophobic amino acid residue or the deamino form, C6-20 hydrophobic aliph., arom., or mixed aliph./arom. org. group; Z3 = spacer group; Z3 = OH, (C1-10 alkylated) amino, peptide of 1-20 amino acids or its (alkyl) amide; provided that when A5 = covalent bond, Z3 .noteq. OH, NH2 or peptide; wherein .gtoreq.1 of of the amide linkages between adjacent amino acid residue is replaced by CH2NH2, CH2S, CH2CH2, CH:CH, COCH, CH(OH)CH2, or CH2SO], which have natriuretic, diuretic and hypotensive activity in mammals and may possess vasorelaxant activity or inhibit the release of aldosterone and renin and thus can be used in the treatment of various edematous states such as congestive heart failure, nephrotic syndrome, hypertension, etc., are prepd. Thus, Q-Gly-Gly-Arg-Ile-Asp-Arg-Ile-Gly-Ala-NH2 was prepd. by the solid phase synthesis using BOC-Ala-pMBHA (p-methylbenzhydrylamine) resin, protected amino acids, and 2-naphthylacetic acid. In receptor binding assays, I competed with an iodinated native atrial natriuretic peptide (125I-rANP) (II), for binding to receptors from cultured bovine aortic smooth muscle or bovine endothelial cells with K_i (app) values (the concns. of unlabeled peptide at which 50% of II binding is displaced) of 2.52- >400 nM.

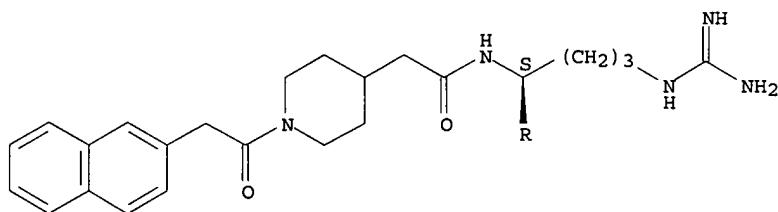
IT 124833-19-8
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as diuretic, natriuretic and vasodilator)

RN 124833-19-8 CAPLUS

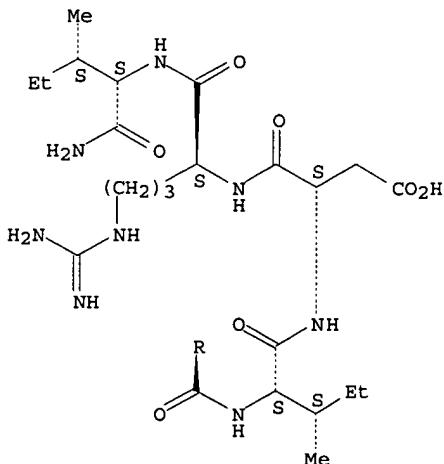
CN L-Isoleucinamide, N2-[(1-(2-naphthalenylacetyl)-4-piperidinyl)acetyl]-L-arginyl-L-isoleucyl-L-.alpha.-aspartyl-L-arginyl- (9CI) (CA INDEX NAME)

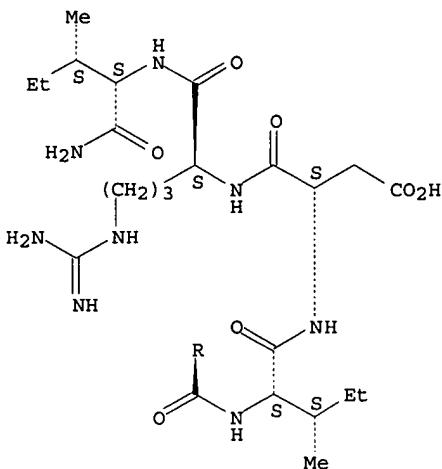
Absolute stereochemistry.

PAGE 1-A



PAGE 2-A





L18 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1989:173102 CAPLUS

DN 110:173102

TI Preparation of 1-benzyl-4-(substituted alkyl)piperidines and analogs as acetylcholinesterase inhibitors

IN Sugimoto, Hachiro; Tsuchiya, Yutaka; Higurashi, Kunizou; Karibe, Norio; Iimura, Yuichi; Sasaki, Atsushi; Yamanashi, Yoshiharu; Ogura, Hiroo; Araki, Shin; et al.

PA Eisai Co., Ltd., Japan

SO Eur. Pat. Appl., 103 pp.

CODEN: EPXXDW

DT Patent

LA English

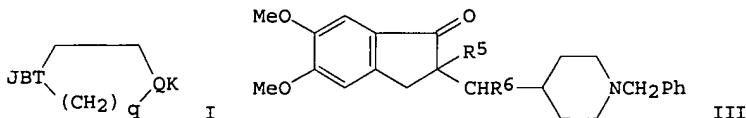
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 296560	A2	19881228	EP 1988-109924	19880622
	EP 296560	A3	19900502		
	EP 296560	B1	19960228		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FI 8802716	A	19881223	FI 1988-2716	19880608
	FI 95572	B	19951115		
	FI 95572	C	19960226		
	NO 8802696	A	19881223	NO 1988-2696	19880617
	NO 177590	B	19950710		
	NO 177590	C	19951018		
	ZA 8804338	A	19890329	ZA 1988-4338	19880617
	US 4895841	A	19900123	US 1988-209339	19880620
	DK 8803379	A	19881223	DK 1988-3379	19880621
	DK 172337	B1	19980330		
	HU 50768	A2	19900328	HU 1988-3160	19880621
	HU 214592	B	19980428		
	DD 283377	A5	19901010	DD 1988-316988	19880621
	RU 2009128	C1	19940315	RU 1988-4356030	19880621
	CA 1338808	A1	19961224	CA 1988-569944	19880621
	AU 8818216	A1	19881222	AU 1988-18216	19880622
	AU 627151	B2	19920820		
	CN 1030752	A	19890201	CN 1988-103779	19880622
	CN 1024547	B	19940518		
	JP 01079151	A2	19890324	JP 1988-153852	19880622
	JP 2578475	B2	19970205		
	EP 579263	A1	19940119	EP 1993-113146	19880622
	EP 579263	B1	19980916		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	EP 673927	A1	19950927	EP 1995-104080	19880622
	EP 673927	B1	20010919		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 134618	E	19960315	AT 1988-109924	19880622
	ES 2083359	T3	19960416	ES 1988-109924	19880622
	EP 742207	A1	19961113	EP 1996-110252	19880622
	EP 742207	B1	20010829		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 171161	E	19981015	AT 1993-113146	19880622

10001725

ES	2121039	T3	19981116	ES	1993-113146	19880622
EP	1116716	A1	20010718	EP	2001-102878	19880622
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE						
AT	204862	E	20010915	AT	1996-110252	19880622
AT	205828	E	20011015	AT	1995-104080	19880622
ES	2160747	T3	20011116	ES	1996-110252	19880622
ES	2164720	T3	20020301	ES	1995-104080	19880622
US	5100901	A	19920331	US	1989-423349	19891018
CN	1073939	A	19930707	CN	1992-112982	19921110
CN	1034015	B	19970212			
CN	1071417	A	19930428	CN	1992-112995	19921112
CN	1038839	B	19980624			
JP	07252216	A2	19951003	JP	1994-291169	19941125
JP	2733203	B2	19980330			
CA	1340192	A1	19981215	CA	1995-616996	19950424
FI	9502850	A	19950609	FI	1995-2850	19950609
FI	9602753	A	19960704	FI	1996-2753	19960704
DK	9601082	A	19961003	DK	1996-1082	19961003
DK	9601083	A	19961003	DK	1996-1083	19961003
JP	10067739	A2	19980310	JP	1997-186306	19970711
	3078244	B2	20000821			
PRAI	JP 1987-155058	A	19870622			
	FI 1988-2716	A	19880608			
	US 1988-209339	A3	19880620			
	CA 1988-569944	A3	19880621			
	CN 1988-103779	A	19880622			
	EP 1988-109924	A3	19880622			
	EP 1995-104080	A3	19880622			
OS	MARPAT 110:173102					
GI						

OS MARPAT 110:173102
GI



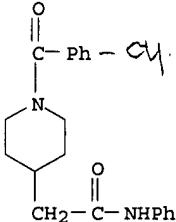
AB The title compds. [I; B = (CHR2)r, CO(CHR2)r, NR4(CHR2)r, etc.; J = alkyl, cyclic amide residue, R1CH:CH, (un)substituted Ph, cyclohexyl, heterocyclyl, mono- or divalent (un)substituted indanyl, PhCOCHMe, etc.; K = H, acyl, (un)substituted Ph, aralkyl, etc.; Q = N, C (sic), NO; R1 = H, alkoxy carbonyl; R2 = H, Me; R4 = H, alkyl, acyl, (un)substituted Ph, PhCH₂, etc.; T = N, C; q = 1-3; r = 0-10; JB and BT may be doubly bonded] were prep'd. Ph₃PCH₂OMeCl was stirred 30 min at 0.degree. with BuLi in Et₂O after which 1-benzyl-4-piperidone was added and the mixt. stirred at room temp. 3 h to give an oil which was refluxed 3 h in aq. MeOH contg. HCl to give 1-benzylpiperidine-4-carboxaldehyde (II).

HCl to give 1-benzylpiperidine-4-carboxaldehyde (II). 5,6-Dimethoxy-1-indanone was stirred with (Me2CH)2NLi in THF contg. HMPA after which II was added and the mixt. stirred 2 h to give indanonylidene(methyl)piperidine III (R5R6 = bond) which was hydrogenated over Pd/C to give, after acidification, III.HCl (R5 = R6 = H). The latter gave 55% inhibition of scopolamine-induced learning impairment in rats at 0.125 mg/kg orally.

IT 120014-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 120014-22-4 CAPLUS (prepn. and reaction of, in prepn. of acetylcholinesterase inhibitors)

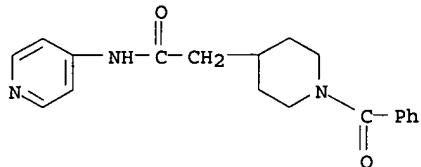
CN 4-Piperidineacetamide, 1-benzoyl-N-phenyl- (9CI) (CA INDEX NAME)



IT 120012-14-8P

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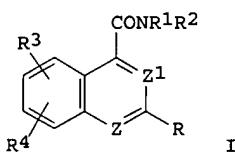
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as acetylcholinesterase inhibitor)
RN 120012-14-8 CAPLUS
CN 4-Piperidineacetamide, 1-benzoyl-N-4-pyridinyl-, monohydrochloride (9CI)
(CA INDEX NAME)



● HCl

L18 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1984:591716 CAPLUS
DN 101:191716
TI 4-Quinolincarboxamide derivatives
IN Dubroeucq, Marie Christine; Le Fur, Gerard; Renault, Christian
PA Rhone-Poulenc Sante, Fr.
SO Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 112776	A2	19840704	EP 1983-402501	19831221
EP 112776	A3	19840912		
EP 112776	B1	19870722		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2538388	A1	19840629	FR 1982-21758	19821224
FR 2538388	B1	19850621		
AT 28401	E	19870815	AT 1983-402501	19831221
AU 8322776	A1	19840628	AU 1983-22776	19831222
AU 575797	B2	19880811		
ZA 8309576	A	19840829	ZA 1983-9576	19831222
HU 33119	O	19841029	HU 1983-4425	19831222
HU 191745	B	19870428		
JP 59219260	A2	19841210	JP 1983-243082	19831222
IL 70528	A1	19870130	IL 1983-70528	19831222
US 4711890	A	19871208	US 1983-564322	19831222
DK 8305964	A	19840625	DK 1983-5964	19831223
NO 8304798	A	19840625	NO 1983-4798	19831223
ES 528364	A1	19850101	ES 1983-528364	19831223
SU 1255050	A3	19860830	SU 1983-3682598	19831223
CA 1225992	A1	19870825	CA 1983-444273	19831223
US 4684652	A	19870804	US 1985-763660	19850808
CA 1228548	A2	19871027	CA 1986-526560	19861230
PRAI FR 1982-21758		19821224		
EP 1983-402501		19831221		
US 1983-564322		19831222		
CA 1983-444273		19831223		
OS CASREACT 101:191716				
GI				



AB Amides I [Z and Z1 are N, CH; R = Ph, pyridyl, thienyl, 2-thiazolyl,

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halo-, alkoxy-, alkyl-, alkylthio-, nitro-, or (trifluoromethyl)phenyl; R1 and R2 are alkyl, Ph, cycloalkyl, phenylalkyl, cycloalkylalkyl, alkenyl, alkynyl, or R2 = 4-piperidinyl, (4-piperidinyl)alkyl, or NR1R2 form a heterocycle; R3 and R4 are H, halo, alkyl, alkoxy, NO₂, CF₃] were prep'd., and they showed tranquilizer activity. 2-Phenyl-4-quinolonecarboxylic acid was treated with SOC_l2 and Et₂NH to give I (Z = N, R = Ph, Z₁ = CH, R₁ = R₂ = Et, R₃ = R₄ = H).

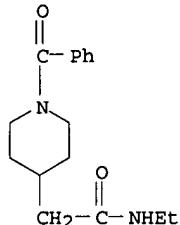
IT 92566-83-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydride redn. of)

RN 92566-83-1 CAPLUS

CN 4-Piperidineacetamide, 1-benzoyl-N-ethyl- (9CI) (CA INDEX NAME)



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19 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2002:72070 CAPLUS

DN 136:134677

TI Substituted 2-(S)-hydroxy-3-[(piperidin-4-yl-methyl)amino]propyl ethers and substituted 2-aryl-2-(R)-hydroxy-1-(piperidin-4-yl-methyl)ethylamines as beta-3 adrenergic receptor agonists, antidiabetics, and antiobesity agents

IN Steffan, Robert John; Ashwell, Mark Anthony; Pelletier, Jeffrey Claude; Solvibile, William Ronald; Matelan, Edward Martin

PA American Home Products Corporation, USA

SO PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2002006255	A2	20020124	WO 2001-US22363	20010716
WO 2002006255	A3	20020321		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002037907 A1 20020328 US 2001-903738 20010712

US 6506901 B2 20030114

PRAI US 2000-218753P P 20000717

OS MARPAT 136:134677

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

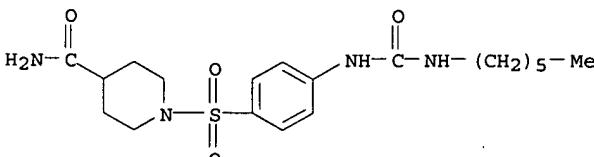
AB The invention provides title compds. I and their pharmaceutically acceptable salts [wherein A = OCH₂, bond; R = (un)substituted aryl or certain N/O/S heterocycl; R₁ = (cyclo)alkyl, alkoxy, (cyclo)alkylamino, (un)substituted aryl, arylamino, arylalkyl, or heterocycl; Z = bond, SO₂, CO]. I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically assocd. with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension, and frequent urination. The compds. are particularly useful in the treatment or inhibition of type II diabetes. They are also useful for increasing lean meat deposition and/or increasing the lean meat to fat ratio in animals, particularly mammals. Approx. 240 individual compds. and addnl. salts were prep'd. by either std. or combinatorial methods. For instance, invention compd. II was prep'd. by reaction of the (S)-isomeric epoxide III with the corresponding amine. II had an EC₅₀ of 0.001 .mu.M against cloned human .beta.3 adrenoceptors in vitro, with a maximal response comparable to isoproterenol.

IT 392691-39-3P, 1-[4-[(4-Carbamoylpiperidin-1-yl)sulfonyl]phenyl]-3-hexylurea

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as .beta.3 adrenergic receptor agonists, antidiabetics, and antiobesity agents)

RN 392691-39-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[4-[[hexylamino]carbonyl]amino]phenyl]sulfonyl] - (9CI) (CA INDEX NAME)



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L19 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2001:265385 CAPLUS

DN 134:295739

TI Preparation of N-aryl-N-(heterocyclalkyl)piperidinecarboxamides as CCR5 antagonists

IN Immura, Shinichi; Hashiguchi, Shohei; Hattori, Taeko; Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori; Sugihara, Yoshihiro

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 392 pp.

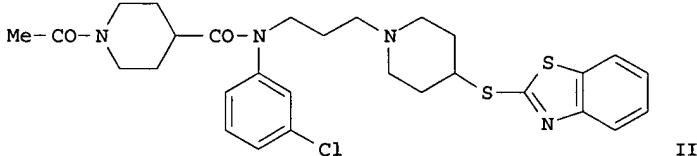
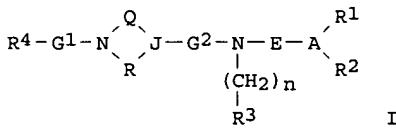
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025200	A1	20010412	WO 2000-JP6755	20000929
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2001302633	A2	20011031	JP 2000-302841	20000929
	BR 2000014428	A	20020611	BR 2000-14428	20000929
	EP 1220842	A1	20020710	EP 2000-962967	20000929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	NO 2002001450	A	20020603	NO 2002-1450	20020322
PRAI	JP 1999-282088	A	19991001		
	JP 2000-46749	A	20000218		
	WO 2000-JP6755	W	20000929		
OS	MARPAT	134:295739			
GI					



II

AB Title compds. (I) [wherein R1 = H, (un)substituted hydrocarbon or nonarom. heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or N+(R5).bul.Y-; R5 = hydrocarbon; Y- = counteranion; R3 = (un)substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliph. hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, CONH, or OCO; J = CH or N; Q and R = independently a bond or (un)substituted divalent aliph. hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prep'd. as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II.bul.HCl was synthesized in 34% yield in a 2-step process involving addn. of TFA to a soln. of 1-tert-butoxycarbonyl-4-(2-benzothiazolylthio)piperidine in CH2Cl2, followed by addn. of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide, K2CO3, and KI to the residue and workup. II.bul.HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addn., 42 example compds. were tested and gave inhibition rates of 82% to 100% at 1.0 .mu.M in a CCR5 antagonistic activity assay.

IT 333990-21-9P, N-(3,4-Dichlorophenyl)-N-[3-{4-(4-fluorobenzyl)-1-

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piperidinyl]propyl]-1-(2,3,4,5,6-pentafluorophenylsulfonyl)-4-piperidinecarboxamide trifluoroacetate 333990-29-7P,
1-(Benzylsulfonyl)-N-(3,4-dichlorophenyl)-N-[3-[4-(4-fluorobenzyl)-1-piperidinyl]propyl]-4-piperidinecarboxamide trifluoroacetate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIO (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-aryl-N-(heterocyclalkyl)piperidinecarboxamide CCR5
antagonists by amidation of N-(arylheterocyclyl)alkylamines or addn. of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

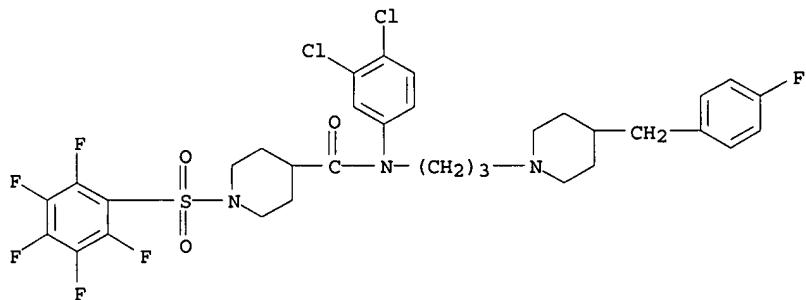
RN 333990-21-9 CAPLUS

CN 4-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-N-[3-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]propyl]-1-[(pentafluorophenyl)sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 333990-20-8

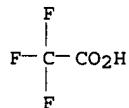
CMF C33 H33 Cl2 F6 N3 O3 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



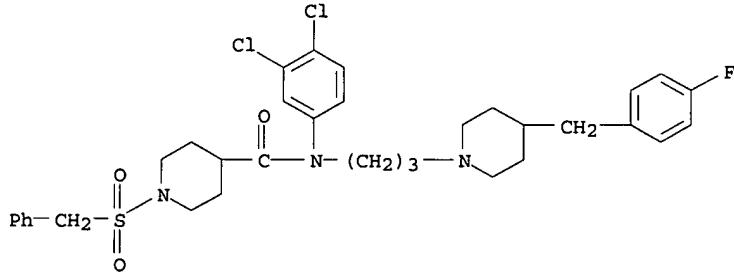
RN 333990-29-7 CAPLUS

CN 4-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-N-[3-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]propyl]-1-[(phenylmethyl)sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 333990-28-6

CMF C34 H40 Cl2 F N3 O3 S



CM 2

10001725

CRN 76-05-1
CMF C2 H F3 O2

